

=> fil reg; d ide; fil capl uspatfull; s l11  
FILE 'REGISTRY' ENTERED AT 11:00:13 ON 07 JAN 2004  
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STRUCTURE FILE UPDATES: 5 JAN 2004 HIGHEST RN 634558-38-6  
DICTIONARY FILE UPDATES: 5 JAN 2004 HIGHEST RN 634558-38-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

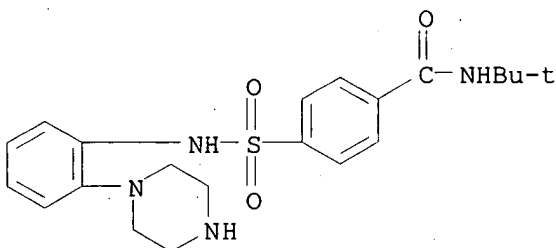
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

L11 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 438192-11-1 REGISTRY  
CN Benzamide, N-(1,1-dimethylethyl)-4-[[[2-(1-piperazinyl)phenyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C21 H28 N4 O3 S  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

*-these are the only files in STN  
that contain refs to this Registry #*

*elected species*



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

FILE 'CAPLUS' ENTERED AT 11:00:14 ON 07 JAN 2004  
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FILE 'USPATFULL' ENTERED AT 11:00:14 ON 07 JAN 2004  
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

L12 2 L11

=&gt; dup rem l12

PROCESSING COMPLETED FOR L12

L13 2 DUP REM L12 (0 DUPLICATES REMOVED)

ANSWER '1' FROM FILE CAPLUS

ANSWER '2' FROM FILE USPATFULL

=&gt; d ibib abs hitrn 1-2

L13 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:465810 -CAPLUS

DOCUMENT NUMBER: 137:46797

TITLE: Diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors

INVENTOR(S): Snyder, James P.; Liotta, Dennis C.; Venkatesan, Hariharan; Wang, Minmin; Davis, Matthew C.

PATENT ASSIGNEE(S): Emory University, USA

SOURCE: PCT Int. Appl., 159 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047679	A2	20020620	WO 2001-US49303	20011217
WO 2002047679	C1	20030130		
WO 2002047679	A3	20030612		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002031098 A5 20020624 AU 2002-31098 20011217

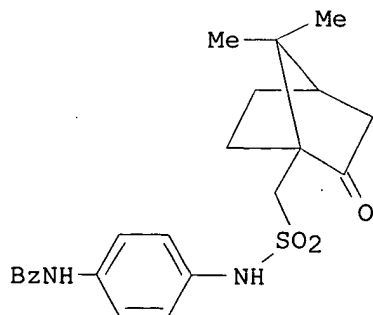
US 2002128208 A1 20020912 US 2001-23603 20011217

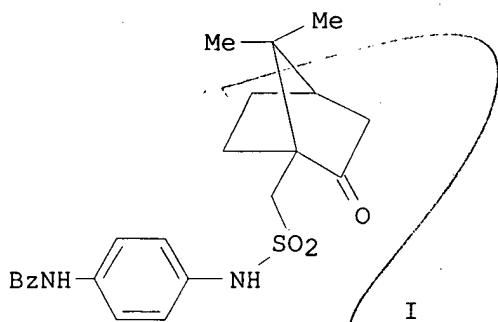
PRIORITY APPLN. INFO.: US 2000-255946P P 20001215

WO 2001-US49303 W 20011217

OTHER SOURCE(S): MARPAT 137:46797

GI





AB The title compds. were prepd. as agonists and/or antagonists of V2, V1a or both receptors, in humans, for use in treating renal dysfunction or hypertension (no data). Thus, the sulfonamide I was obtained by benzoylating p-phenylenediamine and reaction with (-)-camphorsulfonyl chloride.

IT **438192-11-1P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

=> fil reg; d stat que 16; fil cap1; d que nos 17; fil uspatf; d que nos 18  
FILE 'REGISTRY' ENTERED AT 10:38:05 ON 07 JAN 2004  
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STRUCTURE FILE UPDATES: 5 JAN 2004 HIGHEST RN 634558-38-6  
DICTIONARY FILE UPDATES: 5 JAN 2004 HIGHEST RN 634558-38-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

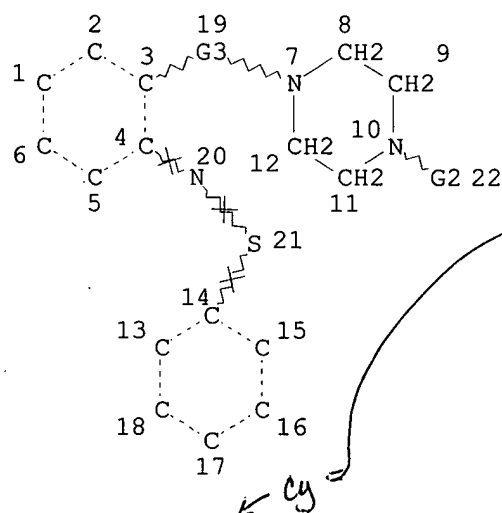
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

L4

STR



Ak @23

akylalkyl  
Ak-Cb  
@24 25

26  
O  
||  
C-Ak  
@27 28

alkcarbonyl

cy = cycloalkyl, cycloalkenyl,  
cycloalkynyl, aryl, alkaryl,  
heterocyclic, heteroaromatic

= ring or chain bonds & nodes

VAR G2=H/23/CY/24/27

REP G3=(0-1) CH2

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 23

CONNECT IS E2 RC AT 24

CONNECT IS E1 RC AT 28

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE

L6 53 SEA FILE=REGISTRY SSS FUL L4

100.0% PROCESSED 13069 ITERATIONS  
SEARCH TIME: 00.00.01

53 ANSWERS

FILE 'CAPLUS' ENTERED AT 10:38:06 ON 07 JAN 2004  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 7 Jan 2004 VOL 140 ISS 2  
FILE LAST UPDATED: 6 Jan 2004 (20040106/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

L4 STR  
L6 53 SEA FILE=REGISTRY SSS FUL L4  
L7 12 SEA FILE=CAPLUS ABB=ON L6

FILE 'USPATFULL' ENTERED AT 10:38:06 ON 07 JAN 2004  
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 6 Jan 2004 (20040106/PD)  
FILE LAST UPDATED: 6 Jan 2004 (20040106/ED)  
HIGHEST GRANTED PATENT NUMBER: US6675388  
HIGHEST APPLICATION PUBLICATION NUMBER: US2004003444  
CA INDEXING IS CURRENT THROUGH 6 Jan 2004 (20040106/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 Jan 2004 (20040106/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2003  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2003

>>> USPAT2 is now available. USPATFULL contains full text of the <<<  
>>> original, i.e., the earliest published granted patents or <<<  
>>> applications. USPAT2 contains full text of the latest US <<<  
>>> publications, starting in 2001, for the inventions covered in <<<  
>>> USPATFULL. A USPATFULL record contains not only the original <<<  
>>> published document but also a list of any subsequent <<<  
>>> publications. The publication number, patent kind code, and <<<  
>>> publication date for all the US publications for an invention <<<  
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<  
>>> records and may be searched in standard search fields, e.g., /PN, <<<  
>>> /PK, etc. <<<  
  
>>> USPATFULL and USPAT2 can be accessed and searched together <<<

>>> through the new cluster USPATALL. Type FILE USPATALL to <<<  
>>> enter this cluster. <<<  
>>> <<<  
>>> Use USPATALL when searching terms such as patent assignees, <<<  
>>> classifications, or claims, that may potentially change from <<<  
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

L4 STR  
L6 53 SEA FILE=REGISTRY SSS FUL L4  
L8 9 SEA FILE=USPATFULL ABB=ON L6

=> dup rem 17,18  
FILE 'CAPLUS' ENTERED AT 10:38:10 ON 07 JAN 2004  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE 'USPATFULL' ENTERED AT 10:38:10 ON 07 JAN 2004  
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)  
PROCESSING COMPLETED FOR L7  
PROCESSING COMPLETED FOR L8  
L10 20 DUP REM L7 L8 (1 DUPLICATE REMOVED)  
ANSWERS '1-12' FROM FILE CAPLUS  
ANSWERS '13-20' FROM FILE USPATFULL

=> d ibib abs hitstr 1-20; fil cao; d que nos 19; fil hom

L10 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1  
ACCESSION NUMBER: 1999:495123 CAPLUS  
DOCUMENT NUMBER: 131:129760  
TITLE: Preparation of sulfonamidobenzenhydroxamates and  
analogs as matrix metalloproteinase and TACE  
inhibitors  
INVENTOR(S): Levin, Jeremy Ian; Du, Mila T.; Venkatesan, Aranapakam  
Mudumbai; Nelson, Frances Christy; Zask, Arie; Gu,  
Yansong  
PATENT ASSIGNEE(S): American Cyanamid Co., USA  
SOURCE: U.S., 68 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5929097	A	19990727	US 1997-944593	19971006
PRIORITY APPLN. INFO.:			US 1996-28504P	P 19961016
OTHER SOURCE(S):	MARPAT 131:129760			

AB RSO2N(CH2R7)ZCONHOH [I; R = (un)substituted (hetero)aryl; R7 = H, alkyl, Ph, etc.; Z = (un)substituted phenylene or -naphthylene] were prepd. Thus, 2-(H2N)C6H4CO2Me was amidated by 4-(MeO)C6H4SO2Cl and the N-benzylated product converted in 2 steps to I [R = C6H4(OMe)-4, R7 = Ph, Z = 1,2-phenylene]. Data for biol. activity of I were given.

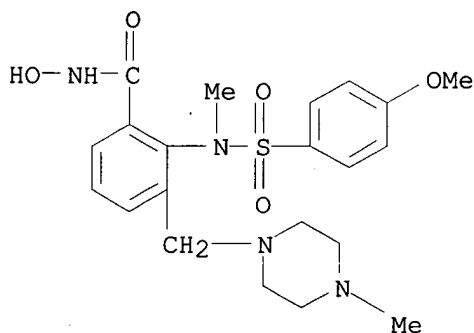
IT 206548-68-7P 206549-86-2P 206549-98-6P  
206550-01-8P 206550-02-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

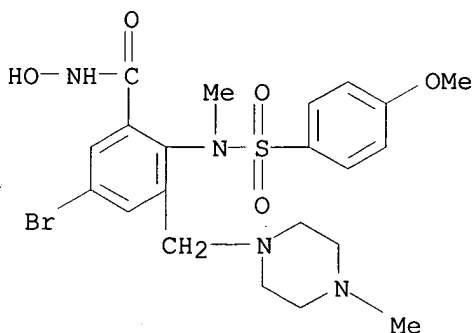
RN 206548-68-7 CAPLUS

CN Benzamide, N-hydroxy-2-[[ (4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



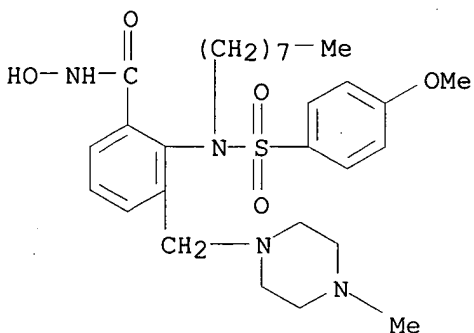
RN 206549-86-2 CAPLUS

CN Benzamide, 5-bromo-N-hydroxy-2-[[ (4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



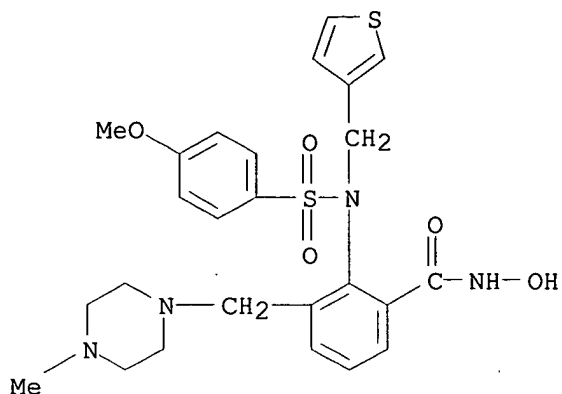
RN 206549-98-6 CAPLUS

CN Benzamide, N-hydroxy-2-[[ (4-methoxyphenyl)sulfonyl]octylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



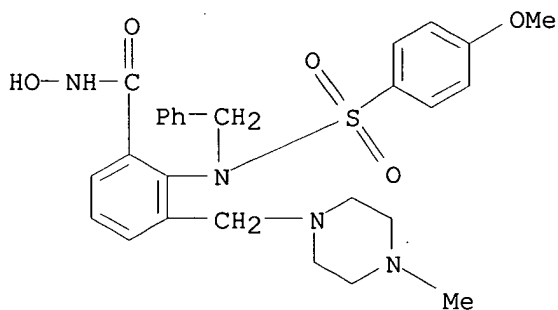
RN 206550-01-8 CAPLUS

CN Benzamide, N-hydroxy-2-[[ (4-methoxyphenyl)sulfonyl] (3-thienylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 206550-02-9 CAPLUS

CN Benzamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl](phenylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



IT 206548-66-5P 206548-67-6P 206549-85-1P

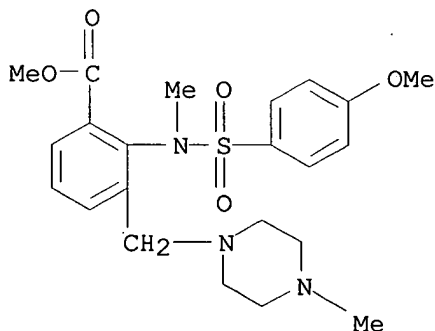
206549-97-5P 206550-00-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of sulfonamidobenzenhydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

RN 206548-66-5 CAPLUS

CN Benzoic acid, 2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

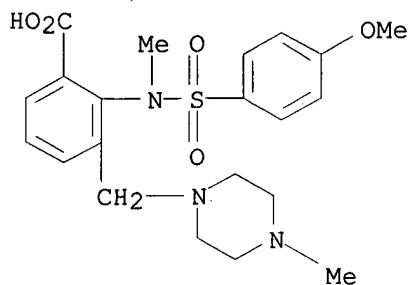


RN 206548-67-6 CAPLUS

CN Benzoic acid, 2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-

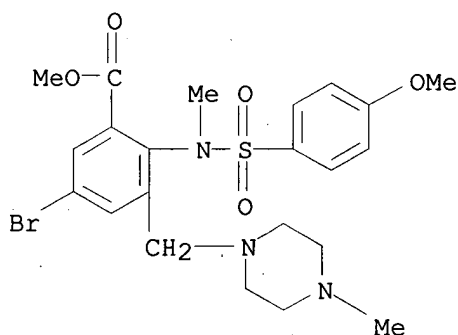


piperazinyl)methyl]- (9CI) (CA INDEX NAME)



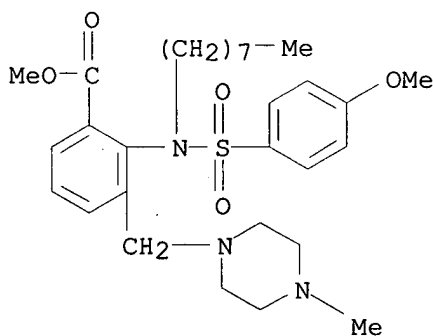
RN 206549-85-1 CAPLUS

CN Benzoic acid, 5-bromo-2-[[[4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



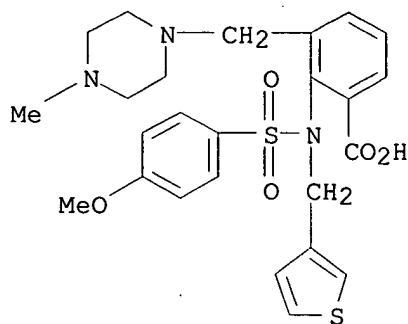
RN 206549-97-5 CAPLUS

CN Benzoic acid, 2-[[[4-methoxyphenyl)sulfonyl]octylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 206550-00-7 CAPLUS

CN Benzoic acid, 2-[[[4-methoxyphenyl)sulfonyl](3-thienylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:434371 CAPLUS

DOCUMENT NUMBER: 139:22109

TITLE: Preparation of piperazinyl carboxamides, sulfonamides, ureas and related compounds as CCR3 receptor antagonists for treating asthma

INVENTOR(S): Du Bois, Daisy Joe; Kertesz, Denis John; Sjogren, Eric Brian; Smith, David Bernard; Wang, Bei Han

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

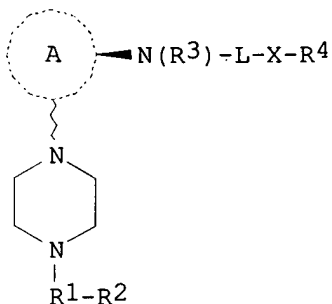
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045393	A1	20030605	WO 2002-EP13217	20021125
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003176441	A1	20030918	US 2002-307159	20021129
US 2003229121	A1	20031211	US 2002-307130	20021129
PRIORITY APPLN. INFO.:			US 2001-334655P	P 20011130
			US 2001-334653P	P 20011130
			US 2001-334819P	P 20011130

OTHER SOURCE(S): MARPAT 139:22109

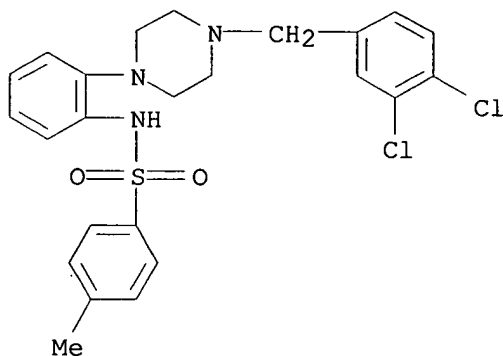
GI



AB The present invention relates to piperazinyl carboxamides, sulfonamides, ureas and related compds. (shown as I; variables defined below; e.g. trans-1-[4-[4-(4-chlorobenzyl)piperazin-1-yl]tetrahydrofuran-3-yl]-3-(3,4,5-trimethoxyphenyl)urea dihydrochloride). The compds. are useful as CCR3 receptor antagonists by blocking the ability of the CCR-3 receptor to bind RANTES, MCP-3 and eotaxin and thereby preventing the recruitment of eosinophils, and therefore, may be used for treatment of CCR3 mediated diseases such as asthma or for diagnosis. Five pharmaceutical formulations are described. Seven example preps. of I are included. For example, the above compd. was prepd. in 77% yield from trans-4-[4-(4-chlorobenzyl)piperazin-1-yl]tetrahydrofuran-3-ylamine (0.41 mmol) and 5-isocyanato-1,2,3-trimethoxybenzene (0.50 mmol) in CH<sub>2</sub>Cl<sub>2</sub>; prepn. of the amine is also described. IC<sub>50</sub> values for inhibiting the binding of 125I eotaxin to CCR-3 L1.2 transfectant cells were detd. for 4 examples of I, e.g. 0.1099 .mu.M for the above example. For I: R<sub>1</sub> is (C<sub>1</sub>-C<sub>2</sub>)alkylene; R<sub>2</sub> is (un)substituted phenyl; R<sub>3</sub> is H, C<sub>1</sub>-6 alkyl, acyl, aryl, or aryl C<sub>1</sub>-6-alkyl; ring A is a C<sub>3</sub>-7 cycloalkyl, heterocyclyl, or (un)substituted phenyl; L is -C(O)-, -C(S)-, -SO<sub>2</sub>-, -C(O)N(R<sub>a</sub>)-, -C(S)N(R<sub>a</sub>)-, -SO<sub>2</sub>N(R<sub>a</sub>)-, -C(O)O-, -C(S)O-, -S(O)<sub>2</sub>O-; where R<sub>a</sub> is H, C<sub>1</sub>-6 alkyl, acyl, aryl, aryl C<sub>1</sub>-6 alkyl, C<sub>1</sub>-6-alkoxycarbonyl, or benzyloxycarbonyl. X is absent, -(CR'R'')O-, -(CR'R'')S-, -(CR'R'')NR<sub>b</sub>- or C<sub>1</sub>-6 alkylene; where R' and R'' = H or C<sub>1</sub>-6-alkyl, and R<sub>b</sub> is H or C<sub>1</sub>-6 alkyl; R<sub>4</sub> is aryl or heteroaryl; provided that I is not 1-[2-[4-(3,4-dichlorobenzyl)piperazin-1-yl]cyclohexyl]-3-(3-methoxyphenyl)urea; and provided that when ring A is Ph or cyclohexyl, then R<sub>2</sub> is substituted Ph.

IT **538342-67-5P**, N-[2-[4-(3,4-Dichlorobenzyl)piperazin-1-yl]phenyl]-4-methylbenzenesulfonamide  
 RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; prepn. of piperazinyl carboxamides, sulfonamides, ureas and related compds. as CCR3 receptor antagonists for treating/diagnosing asthma)

RN **538342-67-5** CAPLUS  
 CN Benzenesulfonamide, N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:849607 CAPLUS

DOCUMENT NUMBER: 137:353007

TITLE: Preparation of .beta.-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases

INVENTOR(S): Bhisetti, Govinda R.; Saunders, Jeffrey O.; Murcko, Mark A.; Lepre, Christopher A.; Britt, Shawn D.; Come, Jon H.; Deninger, David D.; Wang, Tianshang

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088101	A2	20021107	WO 2002-US13741	20020429
WO 2002088101	A3	20030103		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

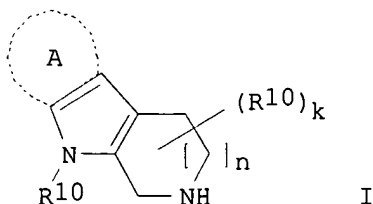
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003095958 A1 20030522 US 2002-136576 20020429

PRIORITY APPLN. INFO.: US 2001-287169P P 20010427  
US 2001-301049P P 20010626  
US 2001-342263P P 20011218

OTHER SOURCE(S): MARPAT 137:353007

GI



AB The present invention relates to a wide variety of inhibitors (e.g. naphthalene-1-carboxylic acid N-[2-(3,4-dichlorophenyl)-4-(piperazin-1-yl)pyrimidin-5-yl]amide; 9-[(naphthalen-2-yl)methyl]-6-[(3-trifluoromethylbenzyl)oxy]-2,3,4,9-tetrahydro-1H-.beta.-carboline; 4-(biphenyl-4-yl)piperidine-3-carboxylic acid N-(1-(naphthalen-2-yl)ethyl)amide) of aspartic proteinases, particularly, BACE. The present invention also relates to compns. thereof and methods therewith for inhibiting BACE activity in a mammal, and for treating Alzheimer's Disease and other BACE-mediated diseases. The inhibitors have the following structural features: HB-1, HPB-4; and at least one of HPB-2 and HPB-3, wherein: HB-1 is a 1st H bonding moiety capable of forming up to four H bonds with the carboxylate O atoms of Asp-228 and Asp-32 of BACE-1; HPB-2 is a 2nd hydrophobic moiety capable of assocg. with substantially all residues in the flap binding pocket; HPB-3 is a 3rd hydrophobic moiety capable of assocg. with substantially all residues in the P2' binding pocket; HPB-4 is a 4th hydrophobic moiety capable of inducing favorable interactions with the Ph ring of at least two of Tyr-71, Phe-108 and Trp-76. In I (e.g. [6-(2-difluoromethoxybenzyloxy)-1,2,3,4-tetrahydro-.beta.-carbolin-9-yl]naphthalen-1-ylmethanone), one set of the claimed compds., A is a five or six membered aryl ring having 0-2 heteroatoms independently selected from N, O or S, wherein: A has at least one R10 substituent and up to three more substituents selected from R10 or J; k is 0 or 1; n is 0-2; J is halogen, -R', -OR', -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R')2, -SR', -S(O)R', -S(O)N(R')2, -SO2R', -C(O)R', -CO2R', -C(O)N(R')2, -N(R')C(O)R', -N(R')C(O)OR', -N(R')C(O)N(R')2, or -OC(O)N(R')2, wherein R' is H, aliph., heterocyclyl, heterocyclyl-alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; wherein R' is optionally substituted with up to 3 substituents selected independently from -R11, -OR11, -NO2, -CN, -CF3, -OCF3, oxo, 1,2-methylenedioxy, -N(R11)2, -SR11, -S(O)R11, -S(O)N(R11)2, -SO2R11, -C(O)R11, -CO2R11, -C(O)N(R11)2, -N(R11)C(O)R', -N(R11)C(O)OR11, -N(R11)C(O)N(R11)2, or -OC(O)N(R11)2. R11 is H, (C1-C6)-alkyl, (C2-C6)-alkenyl or alkynyl, or (C3-C6)cycloalkyl; R10 is P1-R1-P2-R2-W; P1 and P2 each are independently: absent or aliph.; R1 and R2 each are independently: absent or R; R is a suitable linker; W is a five to eleven membered monocyclic or bicyclic, arom. or nonarom. ring having zero to three heteroatoms independently selected from O, S, N, or NH, wherein W has up to 3 substituents independently selected from J. Ranges of Ki values (>30, 3-30 and <3 .mu.M) for inhibition of BACE-1 are tabulated for .apprx.500 compds. Although the methods of prepn. are not claimed, 30 example prepn. are included.

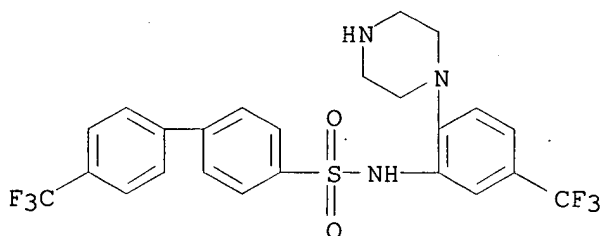
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yl)biphenyl-3-yl)amide **474331-51-6P**, 3'-Chlorobiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-52-7P**, 4'-Chlorobiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-53-8P**, 3'-Methylbiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide **474331-54-9P**, 4'-Methylbiphenyl-4-sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of .beta.-carbolines and other inhibitors of BACE-1 aspartic proteinase useful against Alzheimer's and other BACE-mediated diseases)

RN 474329-75-4 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



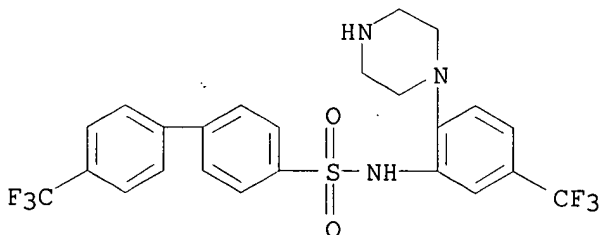
RN 474329-76-5 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-4'-(trifluoromethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 474329-75-4

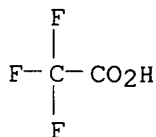
CMF C24 H21 F6 N3 O2 S



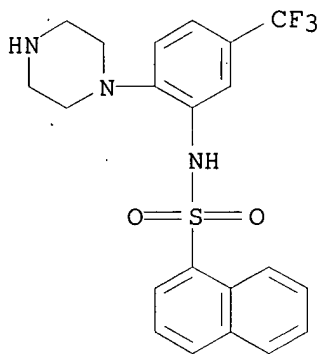
CM 2

CRN 76-05-1

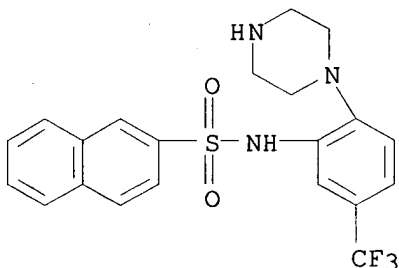
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RN 474331-10-7 CAPLUS

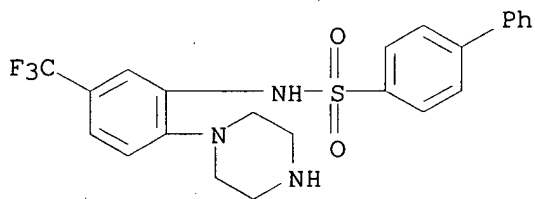
CN 1-Naphthalenesulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-  
(9CI) (CA INDEX NAME)

RN 474331-11-8 CAPLUS

CN 2-Naphthalenesulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-  
(9CI) (CA INDEX NAME)

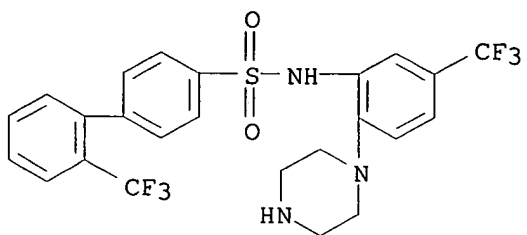
RN 474331-12-9 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



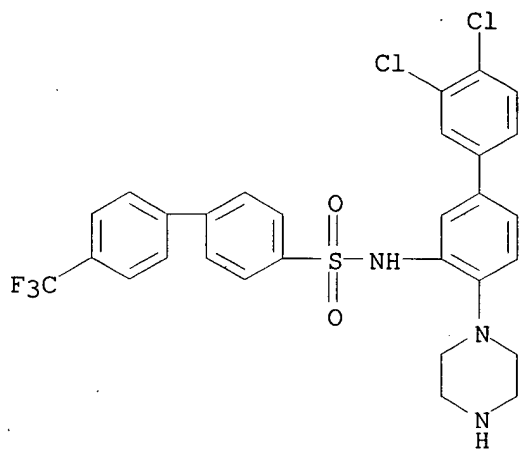
RN 474331-44-7 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



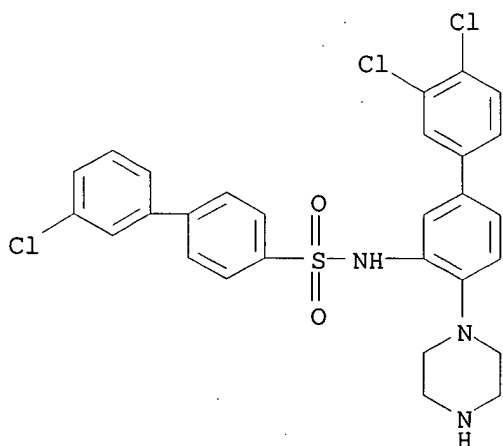
RN 474331-50-5 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 474331-51-6 CAPLUS

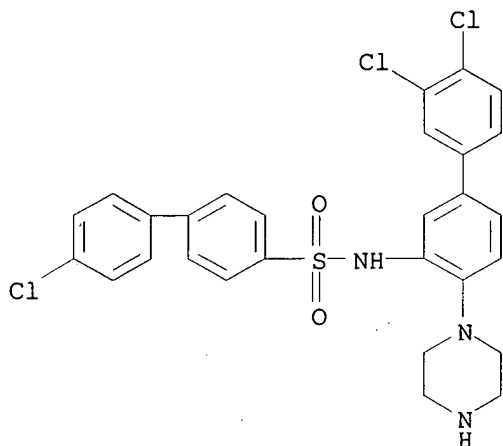
CN [1,1'-Biphenyl]-4-sulfonamide, 3'-chloro-N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



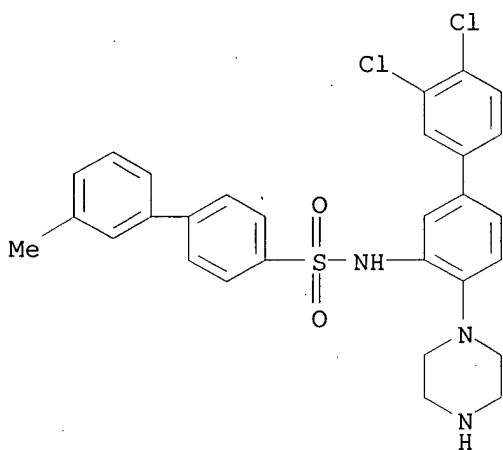
RN 474331-52-7 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, 4'-chloro-N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)

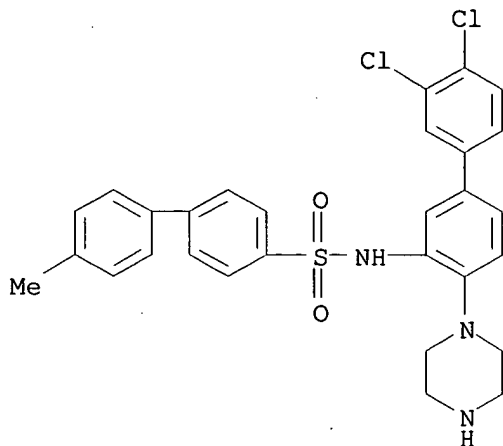




RN 474331-53-8 CAPLUS  
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)][1,1'-biphenyl]-3-yl]-3'-methyl- (9CI) (CA INDEX NAME)

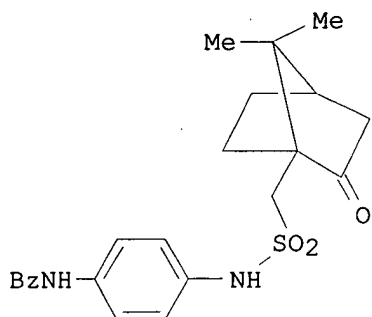


RN 474331-54-9 CAPLUS  
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)][1,1'-biphenyl]-3-yl]-4'-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN  
ACCESSION NUMBER: 2002:465810 CAPLUS  
DOCUMENT NUMBER: 137:46797  
TITLE: Diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors  
INVENTOR(S): Snyder, James P.; Liotta, Dennis C.; Venkatesan, Hariharan; Wang, Minmin; Davis, Matthew C.  
PATENT ASSIGNEE(S): Emory University, USA  
SOURCE: PCT Int. Appl., 159 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047679	A2	20020620	WO 2001-US49303	20011217
WO 2002047679	C1	20030130		
WO 2002047679	A3	20030612		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002031098	A5	20020624	AU 2002-31098	20011217
US 2002128208	A1	20020912	US 2001-23603	20011217
PRIORITY APPLN. INFO.:			US 2000-255946P	P 20001215
			WO 2001-US49303	W 20011217
OTHER SOURCE(S):	MARPAT 137:46797			
GI				



AB The title compds. were prepd. as agonists and/or antagonists of V2, V1a or both receptors, in humans, for use in treating renal dysfunction or hypertension (no data). Thus, the sulfonamide I was obtained by benzoylating p-phenylenediamine and reaction with (-)-camphorsulfonyl chloride.

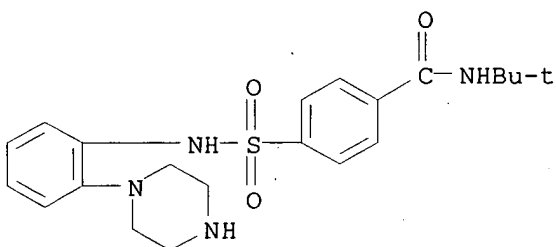
IT **438192-11-1P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

RN 438192-11-1 CAPLUS

CN Benzamide, N-(1,1-dimethylethyl)-4-[[[2-(1-piperazinyl)phenyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:251349 CAPLUS

DOCUMENT NUMBER: 137:304258

TITLE: Anthranilate sulfonamide hydroxamate TACE inhibitors. Part 2: SAR of the acetylenic P1' group

AUTHOR(S): Levin, J. I.; Chen, J. M.; Du, M. T.; Nelson, F. C.; Killar, L. M.; Skala, S.; Sung, A.; Jin, G.; Cowling, R.; Barone, D.; March, C. J.; Mohler, K. M.; Black, R. A.; Skotnicki, J. S.

CORPORATE SOURCE: Wyeth-Ayerst Research, Pearl River, NY, 10965, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(8), 1199-1202

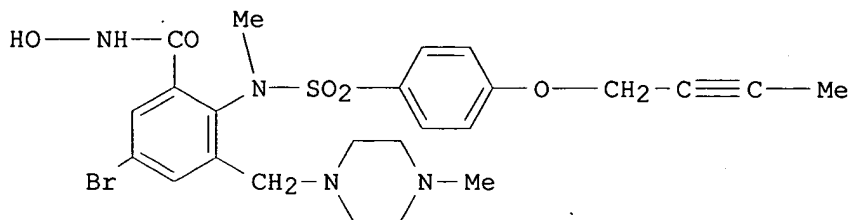
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The SAR of a series of potent sulfonamide hydroxamate TACE inhibitors bearing novel acetylenic P1' groups was explored. In particular, compd. I bearing a butynyloxy P1' moiety has excellent in vitro potency against isolated TACE enzyme and in cells, good selectivity over MMP-1 and oral activity in an in vivo model of TNF-.alpha. prodn. It has been postulated that agents that inhibit TACE, and thereby reduce levels of sol. TNF-.alpha., might offer an effective treatment for rheumatoid arthritis.

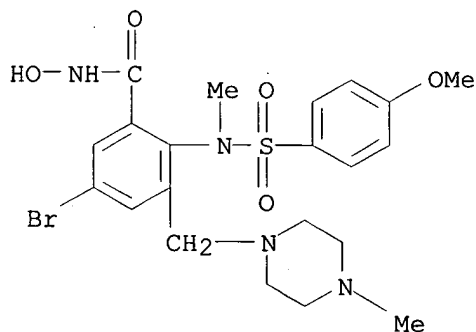
IT 206549-86-2P 470662-87-4P 470662-88-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure-activity relations of sulfonamide hydroxamate TACE inhibitors)

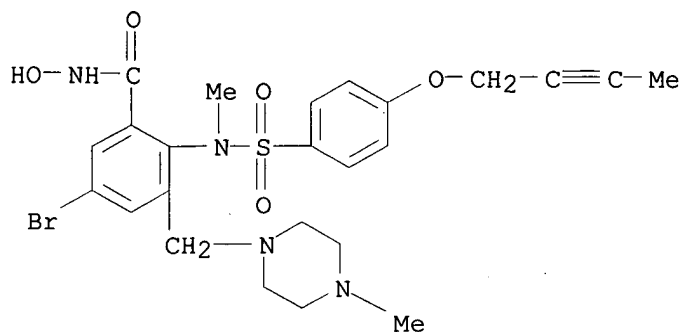
RN 206549-86-2 CAPLUS

CN Benzamide, 5-bromo-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



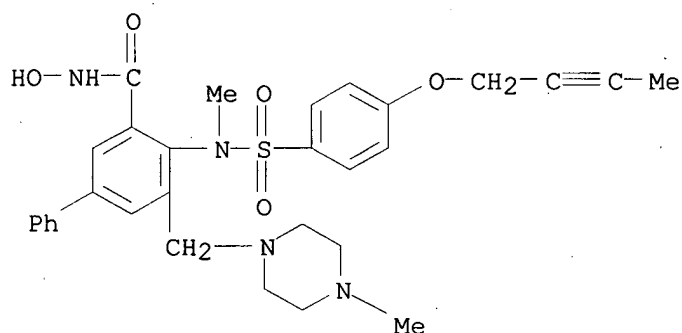
RN 470662-87-4 CAPLUS

CN Benzamide, 5-bromo-2-[[[4-(2-butynyloxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 470662-88-5 CAPLUS

CN [1,1'-Biphenyl]-3-carboxamide, 4-[[[4-(2-butynyloxy)phenyl]sulfonyl]methylamino]-N-hydroxy-5-[[4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

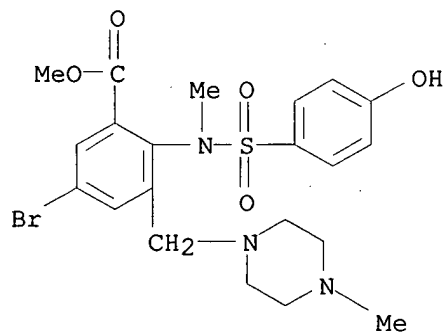


IT 470662-90-9P 470662-91-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(structure-activity relations of sulfonamide hydroxamate TACE inhibitors)

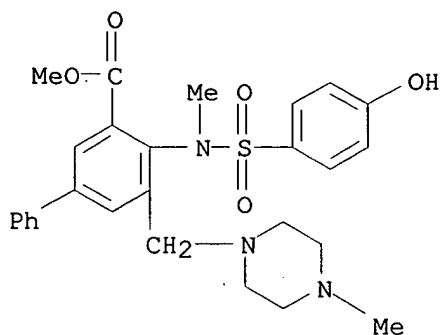
RN 470662-90-9 CAPLUS

CN Benzoic acid, 5-bromo-2-[[[4-(hydroxyphenyl)sulfonyl]methylamino]-3-[[4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 470662-91-0 CAPLUS

CN [1,1'-Biphenyl]-3-carboxylic acid, 4-[[[4-(hydroxyphenyl)sulfonyl]methylamino]-5-[[4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:441258 CAPLUS

DOCUMENT NUMBER: 135:53457

TITLE: Silver halide color photographic material containing pyrrolotriazole cyan coupler

INVENTOR(S): Tateishi, Keiichi; Mikoshiba, Takashi; Matsuda, Naoto

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 75 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

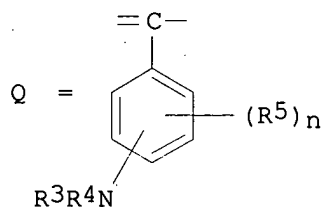
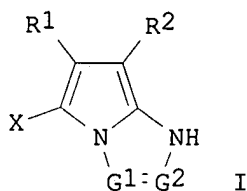
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001163887	A2	20010619	JP 2000-282530	20000918
US 6399291	B1	20020604	US 2000-675213	20000929
US 6495697	B1	20021217	US 2002-121593	20020415
PRIORITY APPLN. INFO.:			JP 1999-279838	A 19990930
			US 2000-675213	A3 20000929

OTHER SOURCE(S): MARPAT 135:53457

GI



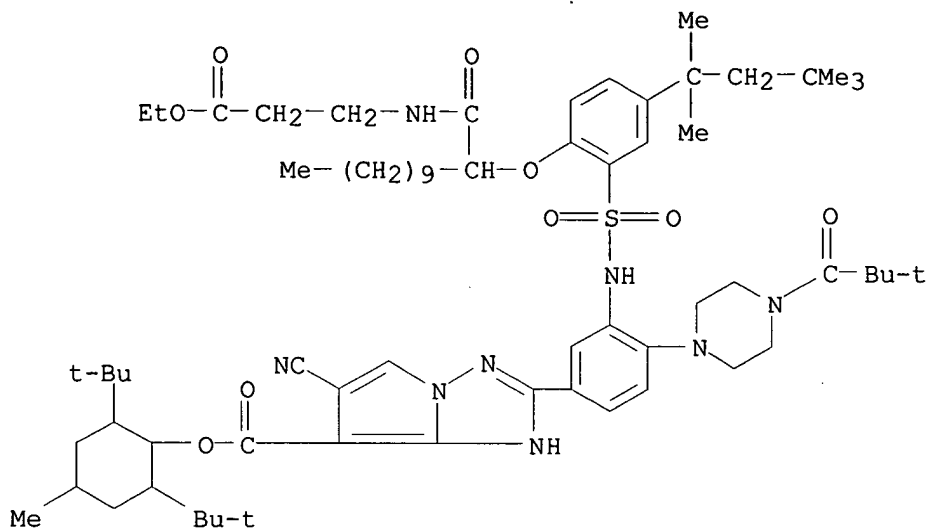
AB The material contains a coupler I [X = H, substituent to be released on coupling with an arom. primary amine color developer; R1, R2 = electron attractive group with Hammett's  $\sigma_p$  value  $\geq 0.20$ ;  $\sigma_p(R1) + \sigma_p(R2) \geq 0.65$ ; G1, G2 = N, Q (R3 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocycle; R4 = H, substituent linked with C; R3 and R4 may form a ring; R5 = substituent; n = 0-4);  $\geq 1$  of G1-2= N; I may form a dimer or (co)polymer] in  $\geq 1$  layer on a support. The pyrrolo[1,2-b]triazole compd. I (X = H, halo, C1-32 alkyloxy, C6-32 aryloxy, C1-32 alkylthio, C6-32 arylthio, C2-32 heterocyclic thio, C2-32 alkyloxycarbonyloxy, C7-32 aryloxycarbonyloxy, C1-32 carbamoyloxy, C3-32 heterocyclic carbonyloxy, 5- or 6-membered C2-32 N-contg. heterocycle linking to a coupling active site with N; R1, R2 = electron attractive group with Hammett's  $\sigma_p$  value  $\geq 0.20$ ;  $\sigma_p(R1) + \sigma_p(R2) \geq 0.65$ ; G1, G2 = N, Q) is also claimed. The material shows improved color reprodn., colored image stability, and processing stability.

IT **344941-66-8P**

RL: DEV (Device component use); PNU (Preparation, unclassified); PREP (Preparation); USES (Uses)  
(silver halide color photog. material contg. pyrrolo[1,2-b]triazole cyan coupler)

RN 344941-66-8 CAPLUS

CN 1H-Pyrrolo[1,2-b][1,2,4]triazole-7-carboxylic acid, 6-cyano-2-[4-[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-3-[[[2-[[1-[(3-ethoxy-3-oxopropyl)amino]carbonyl]undecyl]oxy]-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfonyl]amino]phenyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylcyclohexyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:780030 CAPLUS

DOCUMENT NUMBER: 136:232093

TITLE: The discovery of anthranilic acid-based MMP

AUTHOR(S): inhibitors. Part 3: incorporation of basic amines  
Levin, J. I.; Chen, J. M.; Du, M. T.; Nelson, F. C.;  
Wehr, T.; DiJoseph, J. F.; Killar, L. M.; Skala, S.;  
Sung, A.; Sharr, M. A.; Roth, C. E.; Jin, G.; Cowling,  
R.; Di, L.; Sherman, M.; Xu, Z. B.; March, C. J.;  
Mohler, K. M.; Black, R. A.; Skotnicki, J. S.

CORPORATE SOURCE: Wyeth-Ayerst Research, Pearl River, NY, 10965, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001),  
11(22), 2975-2978

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Anthranilic acid derivs. bearing basic amines were prepd. and evaluated in vitro and in vivo as inhibitors of MMP-1, MMP-9, MMP-13, and TACE. One piperazine deriv. was identified as a potent, selective, orally active inhibitor of MMP-9 and MMP-13. An example compd. thus tested was N-hydroxy-2-[[[4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methylbenzamide.

IT 206548-68-7 206549-86-2 403704-30-3

403704-32-5 403704-33-6 403704-34-7

403704-35-8

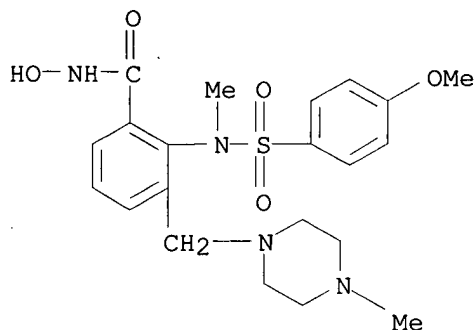
RL: PAC (Pharmacological activity); BIOL (Biological study)

(MMP-inhibiting activity of N-hydroxy-2-[[[4-alkoxyphenyl)sulfonyl]amino]benzamide derivs.)

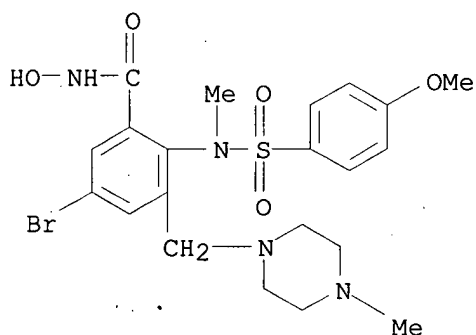
RN 206548-68-7 CAPLUS

CN Benzamide, N-hydroxy-2-[[[4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

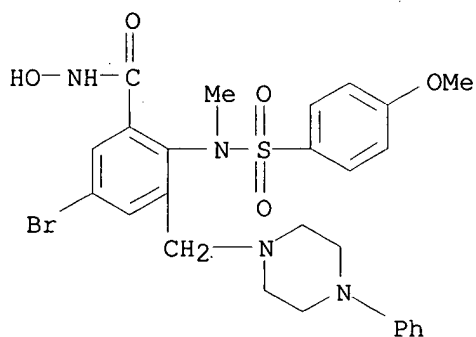




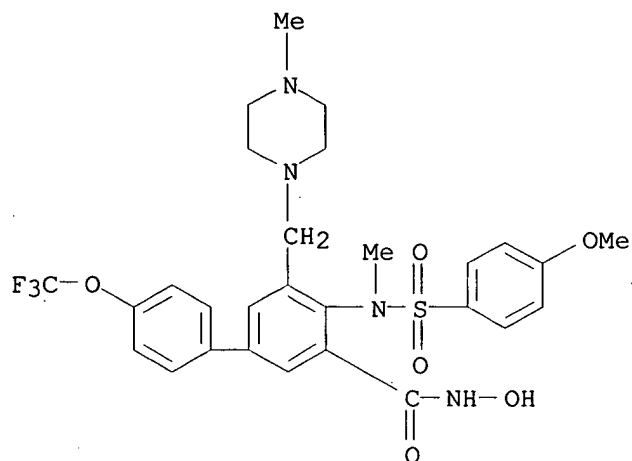
RN 206549-86-2 CAPLUS  
CN Benzamide, 5-bromo-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 403704-30-3 CAPLUS  
CN Benzamide, 5-bromo-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

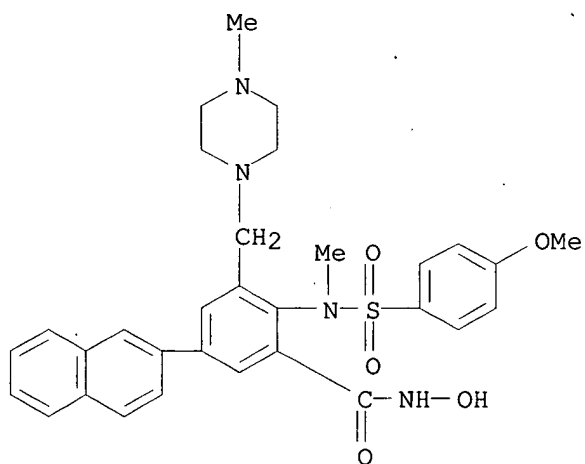


RN 403704-32-5 CAPLUS  
CN [1,1'-Biphenyl]-3-carboxamide, N-hydroxy-4-[[[(4-methoxyphenyl)sulfonyl]methylamino]-5-[(4-methyl-1-piperazinyl)methyl]-4'-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



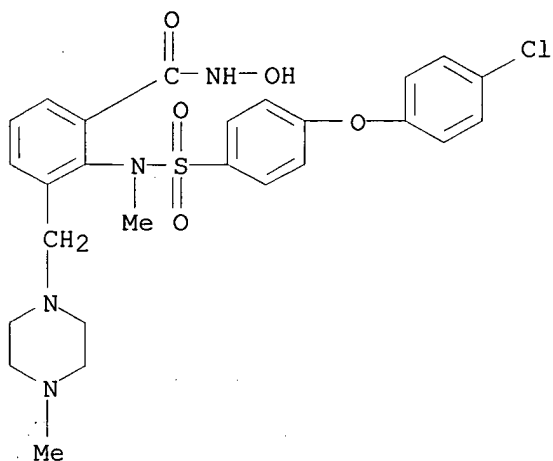
RN 403704-33-6 CAPLUS

CN Benzamide, N-hydroxy-2-[[[4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



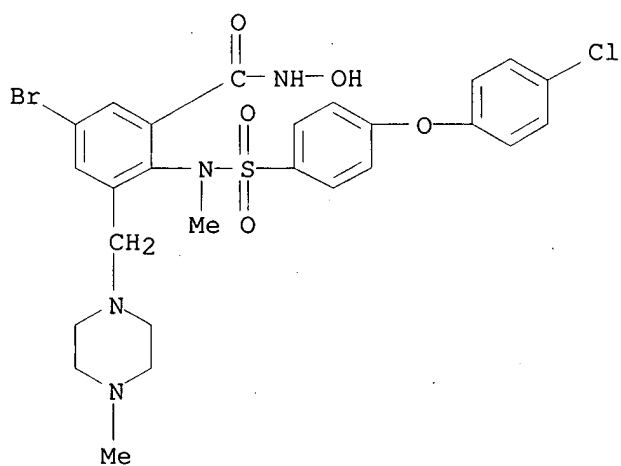
RN 403704-34-7 CAPLUS

CN Benzamide, 2-[[[4-(4-chlorophenoxy)phenyl)sulfonyl]methylamino]-N-hydroxy-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 403704-35-8 CAPLUS

CN Benzamide, 5-bromo-2-[[[4-(4-chlorophenoxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



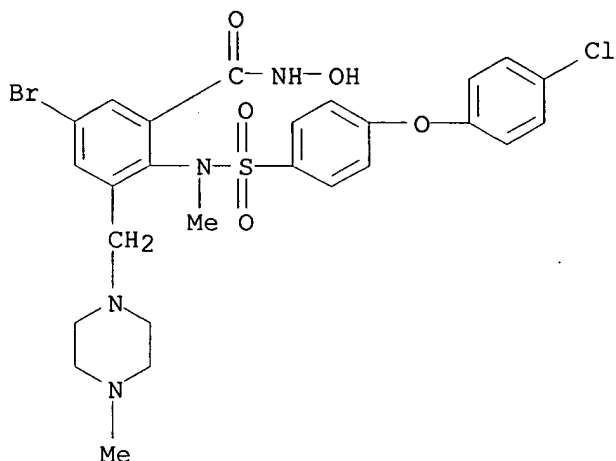
IT 403704-35-8D, MMP-13 bound

RL: PRP (Properties)

(MMP-inhibiting activity of N-hydroxy-2-[[[4-alkoxyphenyl]sulfonyl]amino]benzamide derivs.)

RN 403704-35-8 CAPLUS

CN Benzamide, 5-bromo-2-[[[4-(4-chlorophenoxy)phenyl]sulfonyl]methylamino]-N-hydroxy-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:640827 CAPLUS

DOCUMENT NUMBER: 131:267057

TITLE: Sulfonamide derivatives and drugs containing the same as the active ingredient

INVENTOR(S): Hidaka, Hiroyoshi; Inoue, Tsutomu; Umezawa, Isao; Nakano, Hiroyuki; Nakamura, Hiroshi; Watanabe, Naofumi; Yokota, Shizumasa; Sasaki, Tomomitsu; Yajima, Yumi

PATENT ASSIGNEE(S): Japan

SOURCE: PCT Int. Appl., 103 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

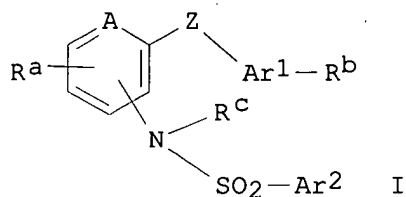
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950237	A1	19991007	WO 1999-JP1621	19990330
W: CA, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 11279138	A2	19991012	JP 1998-83804	19980330
CA 2325997	AA	19991007	CA 1999-2325997	19990330
EP 1072587	A1	20010131	EP 1999-910769	19990330
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
US 6403607	B1	20020611	US 2000-647533	20001002
PRIORITY APPLN. INFO.:				
			JP 1998-83804	A 19980330
			WO 1999-JP1621	W 19990330

OTHER SOURCE(S): MARPAT 131:267057

GI



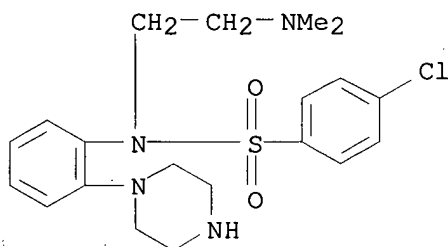
AB Sulfonamide derivs. represented by general formula (I) or salts thereof, wherein A represents nitrogen, -CH=, etc.; Z represents oxygen, etc.; Ar1 represents aryl, etc.; Ar2 represents alkyl, etc.; Ra represents hydrogen, etc.; Rb represents halogeno, etc.; and Rc represents alkyl, etc. Because of having radical-scavenging effect, gastric secretion-potentiating effect, anti-HP bacterial effect, etc., these compds. are useful as remedies for peptic ulcer.

IT 245649-65-4P 245649-66-5P 245649-67-6P  
245649-68-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(sulfonamide derivs. and antiulcer drugs contg. the same as the active ingredient)

RN 245649-65-4 CAPLUS

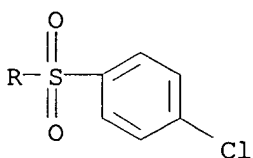
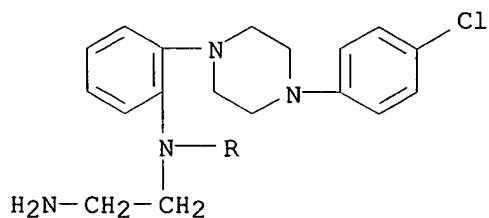
CN Benzenesulfonamide, 4-chloro-N-[2-(dimethylamino)ethyl]-N-[2-(1-piperazinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

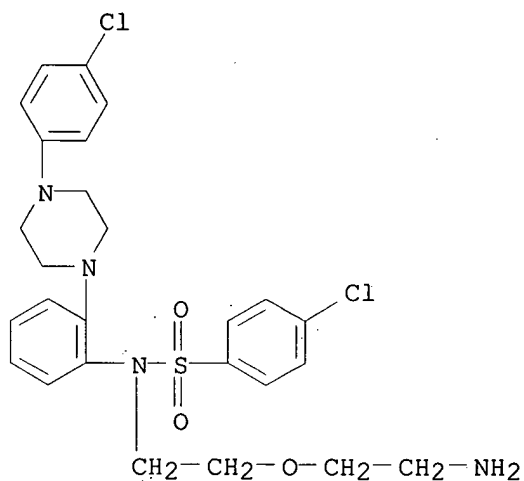
RN 245649-66-5 CAPLUS

CN Benzenesulfonamide, N-(2-aminoethyl)-4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



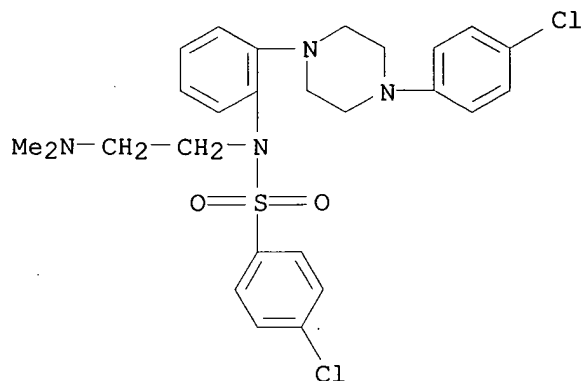
RN 245649-67-6 CAPLUS

CN Benzenesulfonamide, N-[2-(2-aminoethoxy)ethyl]-4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 245649-68-7 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]-N-[2-(dimethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:251153 CAPLUS

DOCUMENT NUMBER: 128:308308

TITLE: The preparation and use of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors

INVENTOR(S): Levin, Jeremy Ian; Du Mila, T.; Venkatesan, Aranapakam Mudumbai; Nelson, Frances Christy; Zask, Arie; Gu, Yansong

PATENT ASSIGNEE(S): American Cyanamid Company, USA

SOURCE: PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

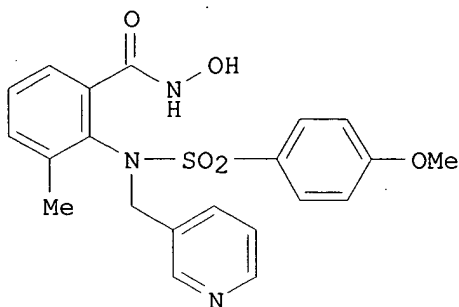
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816503	A2	19980423	WO 1997-US18280	19971008
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9851458	A1	19980511	AU 1998-51458	19971008
AU 731737	B2	20010405		
EP 938471	A1	19990901	EP 1997-946246	19971008
EP 938471	B1	20011212		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
BR 9712525	A	19991019	BR 1997-12525	19971008
CN 1240429	A	20000105	CN 1997-180613	19971008
JP 2001504809	T2	20010410	JP 1998-518448	19971008
AT 210637	E	20011215	AT 1997-946246	19971008
ES 2166102	T3	20020401	ES 1997-946246	19971008

PT 938471	T	20020531	PT 1997-97946246	19971008
ZA 9709233	A	19990415	ZA 1997-9233	19971015
TW 410220	B	20001101	TW 1997-86114187	19971015
KR 2000049196	A	20000725	KR 1999-703294	19990415
HK 1021178	A1	20020404	HK 2000-100090	20000106

PRIORITY APPLN. INFO.: US 1996-732631 A 19961016  
WO 1997-US18280 W 19971008

OTHER SOURCE(S): MARPAT 128:308308  
GI



II

AB The invention relates to novel, low mol. wt., non-peptide inhibitors of matrix metalloproteinases (e.g. gelatinases, stromelysins and collagenases) and TNF-.alpha. converting enzyme (TACE, tumor necrosis factor-.alpha. converting enzyme). The compds. are useful for the treatment of diseases in which these enzymes are implicated such as arthritis, tumor growth and metastasis, angiogenesis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, proteinuria, aneurysmal aortic disease, degenerative cartilage loss following traumatic joint injury, demyelinating diseases of the nervous system, graft rejection, cachexia, anorexia, inflammation, fever, insulin resistance, septic shock, congestive heart failure, inflammatory disease of the central nervous system, inflammatory bowel disease, HIV infection, age related macular degeneration, diabetic retinopathy, proliferative vitreoretinopathy, retinopathy of prematurity, ocular inflammation, keratoconus, Sjogren's syndrome, myopia, ocular tumors, and ocular angiogenesis/neovascularization. The invention compds. are represented by the formula ZSO<sub>2</sub>N(CH<sub>2</sub>R<sub>7</sub>)ACONHOH [I; A = (un)substituted Ph or naphthyl; Z = (un)substituted aryl, heteroaryl, or benzo-fused heteroaryl; R<sub>7</sub> = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, 5- or 6-membered heteroaryl, cycloalkyl, or cycloheteroalkyl; or R<sub>7</sub>CH<sub>2</sub>NA forms a non-arom. 1,2-benzo-fused 7- to 10-membered heterocyclic ring with an optional addn. benzo fusion; where the hydroxamic acid moiety and the sulfonamido moiety are bonded to adjacent carbons on group A], and include pharmaceutically acceptable salts, optical isomers, and diastereomers. Prepn. of over 400 compds., including I and their intermediates, are given. For instance, 2-[(4-methoxybenzenesulfonyl)amino]-3-methylbenzoic acid Me ester (prepn. given) was N-alkylated by 3-picoyl chloride-HCl (83%), followed by hydrolysis of the ester with LiOH in aq. THF (100%), activation with oxalyl chloride, and hydroxamidation with NH<sub>2</sub>OH.HCl (51%), to give title compd. II. At 50 mg/kg/day in rats with cartilage implants, II gave 44.6% inhibition of cartilage wt. loss, and 51.2% inhibition of cartilage collagen loss.

IT 206548-66-5P 206548-67-6P 206549-85-1P  
206549-97-5P 206550-00-7P 206551-39-5P  
206551-61-3P

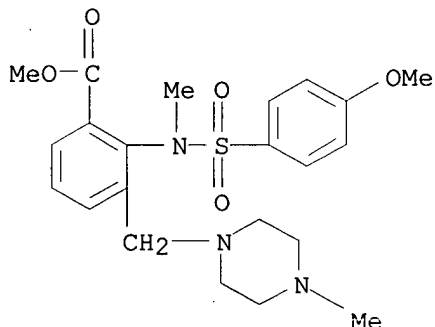
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; prepn. of ortho-sulfonamido aryl hydroxamic acids as



matrix metalloproteinase and TACE inhibitors)

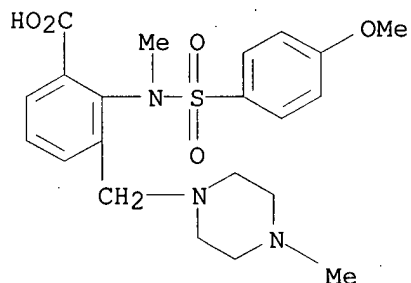
RN 206548-66-5 CAPLUS

CN Benzoic acid, 2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



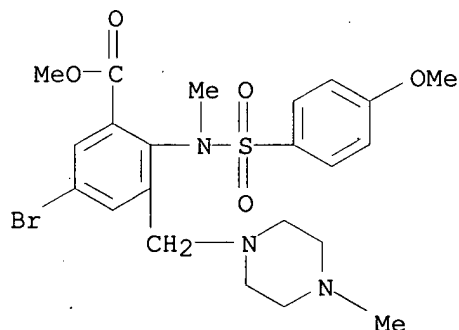
RN 206548-67-6 CAPLUS

CN Benzoic acid, 2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



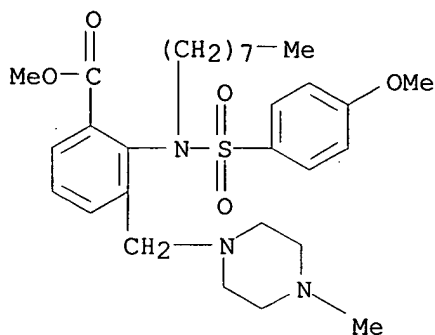
RN 206549-85-1 CAPLUS

CN Benzoic acid, 5-bromo-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



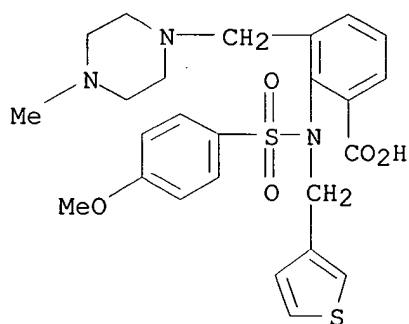
RN 206549-97-5 CAPLUS

CN Benzoic acid, 2-[[[(4-methoxyphenyl)sulfonyl]octylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, methyl ester (9CI) (CA INDEX NAME)



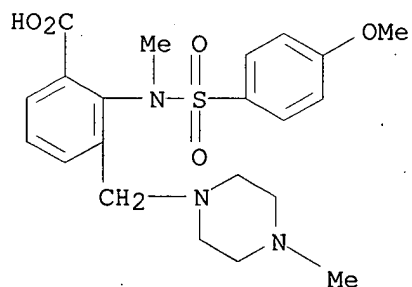
RN 206550-00-7 CAPLUS

CN Benzoic acid, 2-[[[4-methoxyphenyl)sulfonyl](3-thienylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 206551-39-5 CAPLUS

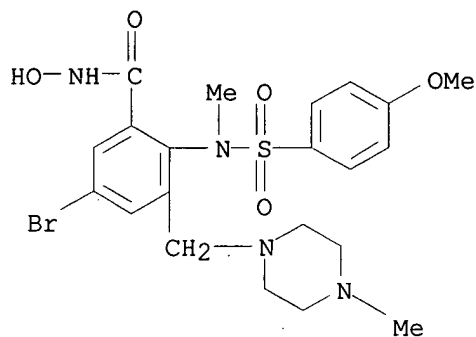
CN Benzoic acid, 2-[[[4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, lithium salt (9CI) (CA INDEX NAME)



● Li

RN 206551-61-3 CAPLUS

CN Benzamide, 5-bromo-N-hydroxy-2-[[[4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, monolithium salt (9CI) (CA INDEX NAME)



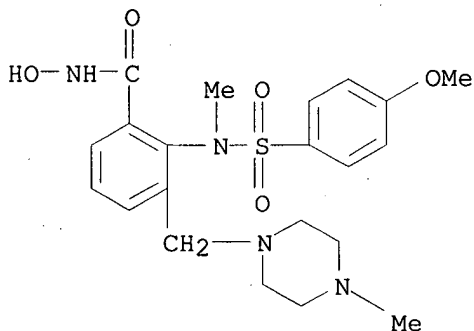
● Li

IT 206548-68-7P 206549-86-2P 206549-98-6P  
206550-01-8P 206550-02-9P 206551-40-8P  
206551-62-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

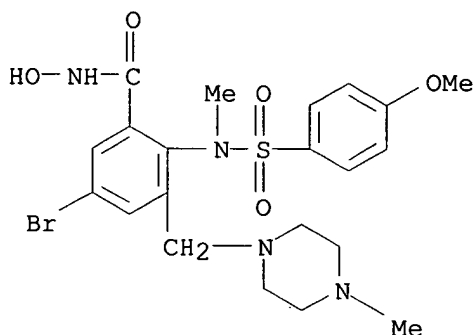
RN 206548-68-7 CAPLUS

CN Benzamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



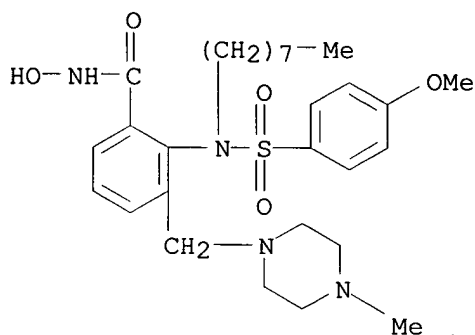
RN 206549-86-2 CAPLUS

CN Benzamide, 5-bromo-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



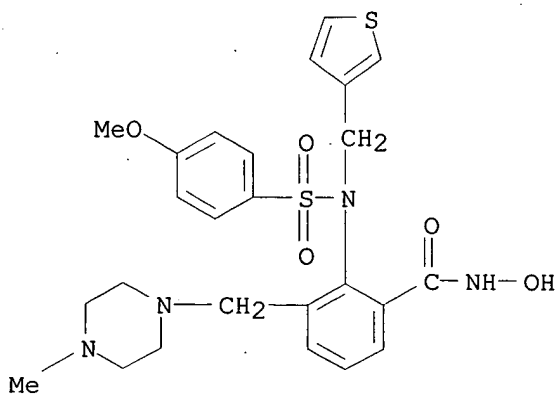
RN 206549-98-6 CAPLUS

CN Benzamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]octylamino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



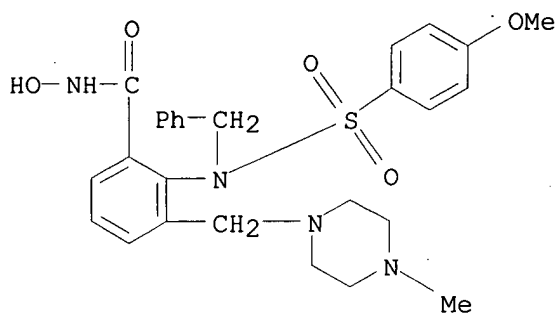
RN 206550-01-8 CAPLUS

CN Benzamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl](3-thienylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



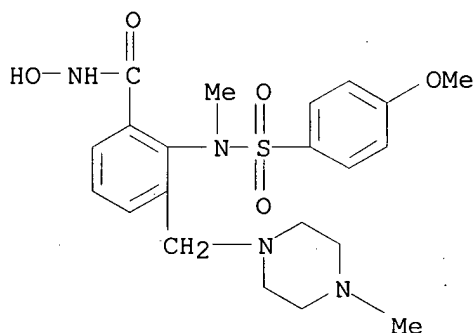
RN 206550-02-9 CAPLUS

CN Benzamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl](phenylmethyl)amino]-3-[(4-methyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 206551-40-8 CAPLUS

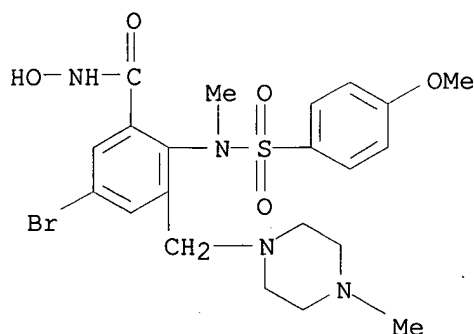
CN Benzamide, N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 206551-62-4 CAPLUS

CN Benzamide, 5-bromo-N-hydroxy-2-[[[(4-methoxyphenyl)sulfonyl]methylamino]-3-[(4-methyl-1-piperazinyl)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

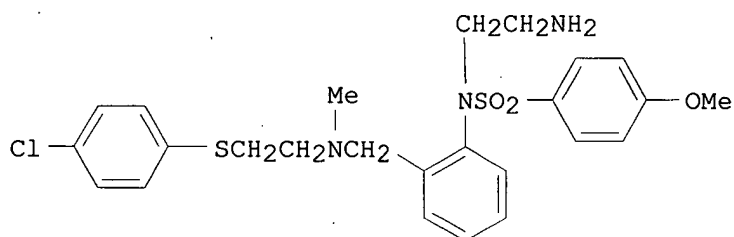


● HCl

ACCESSION NUMBER: 1995:229089 CAPLUS  
DOCUMENT NUMBER: 122:9661  
TITLE: Preparation of sulfonylaminobenzylamine derivatives  
and heterocycle-containing benzylamine derivatives as  
ulcer inhibitors  
INVENTOR(S): Hidaka, Hiroyoshi; Ishikawa, Tomohiko  
PATENT ASSIGNEE(S): Hidaka Hiroyoshi, Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06072979	A2	19940315	JP 1992-171521	19920608

PRIORITY APPLN. INFO.: JP 1992-171521 19920608  
OTHER SOURCE(S): MARPAT 122:9661  
GI



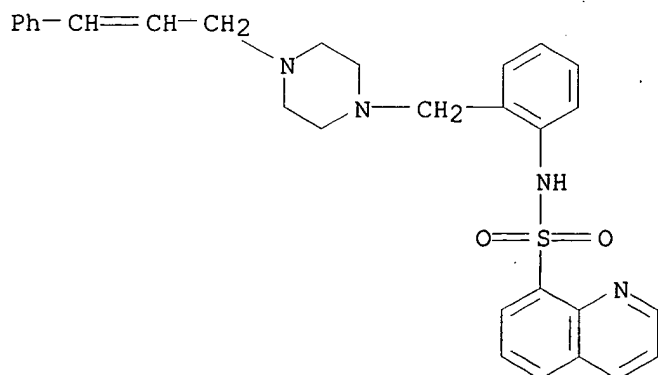
AB The title compds. R2Y(R1)NPhCH2X(R3)AR4 [R1 = H, (substituted) alkyl; R2 = (substituted) quinolyl, Ph, etc.; R3 = H, Me; R4 = (substituted) Ph, triazolyl, etc.; X = N, S, etc.; Y = sulfonyl, carbonyl; A = methylene, ethylenethio, etc.; Ph = unsubstituted or methoxy-substituted phenylene] are prepd. Benzylamine deriv. I was prepd. in multiple steps from p-ClC6H4SCH2CH2NH2. In rats dosed with I (100 mg/Kg), the pH in the stomach was 3.6, vs. 1.4 in controls. Formulations contg. title compds. are given.

IT 159452-18-3P

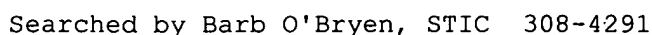
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as ulcer inhibitor)

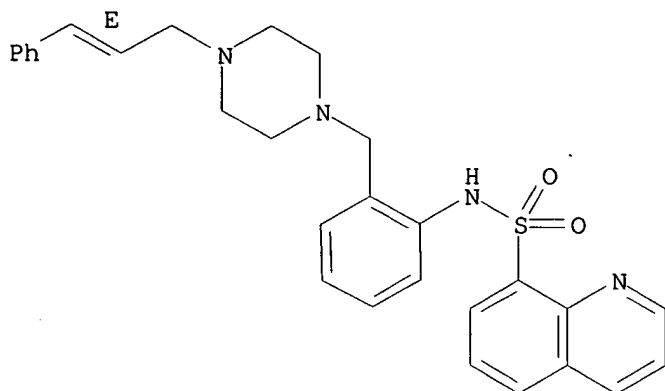
RN 159452-18-3 CAPLUS

CN 8-Quinolinesulfonamide, N-[2-[[4-(3-phenyl-2-propenyl)-1-piperazinyl]methyl]phenyl]- (9CI) (CA INDEX NAME)



PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04330057	A2	19921118	JP 1991-128383	19910501
PRIORITY APPLN. INFO.:			JP 1991-128383	19910501
OTHER SOURCE(S):		MARPAT 119:139132		
GI				

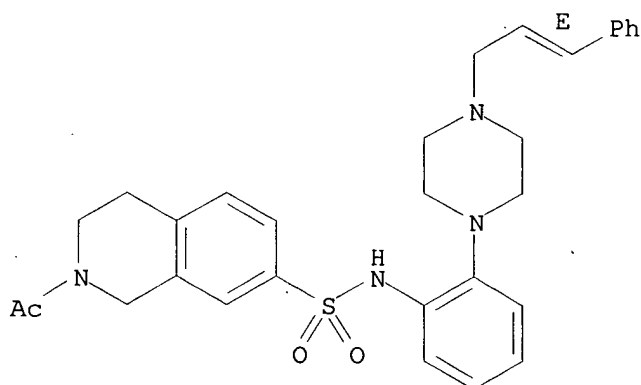




RN 149757-48-2 CAPLUS

CN 7-Isoquinolinesulfonamide, 2-acetyl-1,2,3,4-tetrahydro-N-[2-[4-(3-phenyl-2-propenyl)-1-piperazinyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L10 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1968:459196 CAPLUS

DOCUMENT NUMBER: 69:59196

TITLE: Amination of N,N'-dibenzenesulfonyl-1,4-benzoquinone di-imines: photochemical formation of benzimidazoles

AUTHOR(S): Baxter, I.; Cameron, D. W.

CORPORATE SOURCE: Univ. Chem. Lab., Cambridge, UK

SOURCE: Journal of the Chemical Society [Section] C: Organic (1968), (14), 1747-52

CODEN: JSOOAX; ISSN: 0022-4952

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 69:59196

GI For diagram(s), see printed CA Issue.

AB 2-Methyl- and 2,5-dimethyl - N,N' - bis(phenylsulfonyl)-1,4-benzoquinone diimines undergo side-chain amination by piperidine or piperazine, a process that has analogy in the quinone series. Geometrical isomerism in several of these diimines is discussed on the basis of N.M.R. spectroscopic evidence. Certain of the nuclear aminated diimine derivatives are converted photochem. into benzimidazole derivs., e.g. I. The scope of this novel process is investigated. 17 references.

IT 19835-96-2P 19835-97-3P 19835-98-4P

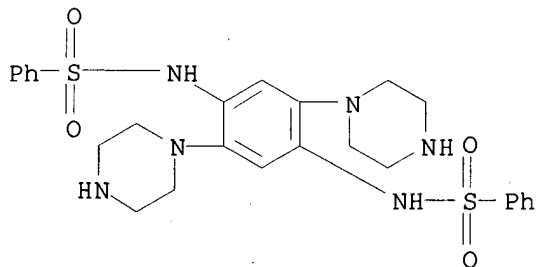
19835-99-5P 19836-00-1P



RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

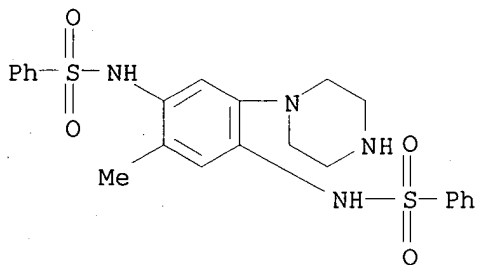
RN 19835-96-2 CAPLUS

CN Benzenesulfonamide, N,N'-(2,5-di-1-piperazinyl-p-phenylene)bis- (8CI) (CA INDEX NAME)



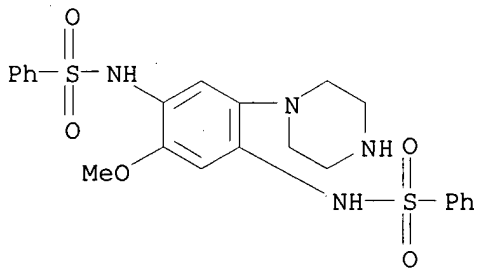
RN 19835-97-3 CAPLUS

CN Benzenesulfonamide, N,N'-[2-methyl-5-(1-piperazinyl)-p-phenylene]bis- (8CI) (CA INDEX NAME)



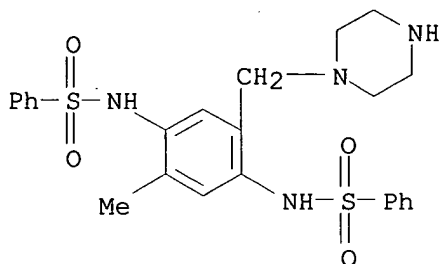
RN 19835-98-4 CAPLUS

CN Benzenesulfonamide, N,N'-[2-methoxy-5-(1-piperazinyl)-p-phenylene]bis- (8CI) (CA INDEX NAME)



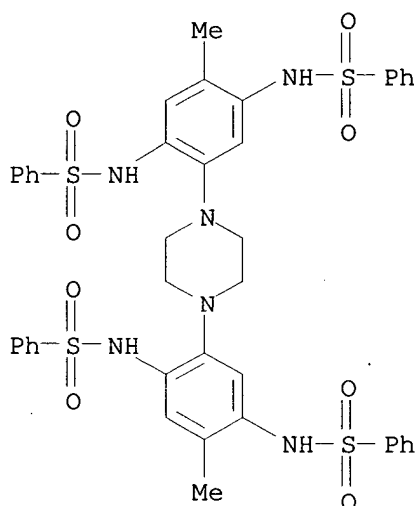
RN 19835-99-5 CAPLUS

CN Benzenesulfonamide, N,N'-[2-methyl-5-(1-piperazinylmethyl)-p-phenylene]bis- (8CI) (CA INDEX NAME)



RN 19836-00-1 CAPLUS

CN Benzenesulfono-m-toluidide, 5',5'''-(1,4-piperazinediyl)bis[4'-benzenesulfonamido- (8CI) (CA INDEX NAME)



L10 ANSWER 13 OF 20 USPATFULL on STN

ACCESSION NUMBER: 2003:325139 USPATFULL

TITLE: Piperidine CCR-3 receptor antagonists

INVENTOR(S): Du Bois, Daisy Joe, Palo Alto, CA, UNITED STATES  
Kertesz, Denis John, Mountain View, CA, UNITED STATES  
Sjogren, Eric Brian, Mountain View, CA, UNITED STATES  
Smith, David Bernard, San Mateo, CA, UNITED STATES  
Wang, Beihan, Santa Clara, CA, UNITED STATES

PATENT ASSIGNEE(S): Syntex (U.S.A.) LLC (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003229121	A1	20031211
APPLICATION INFO.:	US 2002-307130	A1	20021129 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-334653P	20011130 (60)
	US 2001-334819P	20011130 (60)
	US 2001-334655P	20011130 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: ROCHE PALO ALTO LLC, 3431 HILLVIEW AVENUE, PALO ALTO, CA, 94304

NUMBER OF CLAIMS: 28  
EXEMPLARY CLAIM: 1  
LINE COUNT: 2889

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds of Formula (I): ##STR1##

wherein: R.sup.1-R.sup.5, A, L, and X have any of the values defined in the specification that are CCR-3 receptor antagonists, pharmaceutical compositions containing them, methods for their use, and methods and intermediates useful for preparing them.

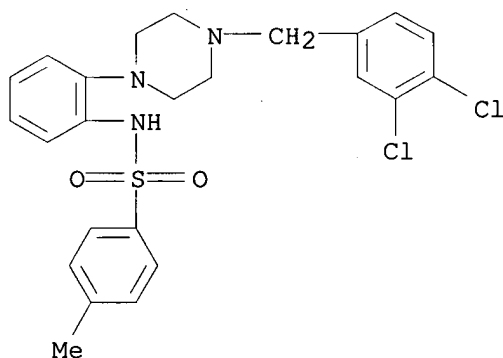
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 538342-67-5P, N-[2-[4-(3,4-Dichlorobenzyl)piperazin-1-yl]phenyl]-4-methylbenzenesulfonamide

(drug candidate; prepn. of piperazinyl carboxamides, sulfonamides, ureas and related compds. as CCR3 receptor antagonists for treating/diagnosing asthma)

RN 538342-67-5 USPATFULL

CN Benzenesulfonamide, N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 20 USPATFULL on STN

ACCESSION NUMBER: 2003:251652 USPATFULL

TITLE: Piperazine CCR-3 receptor antagonists

INVENTOR(S): Du Bois, Daisy Joe, Palo Alto, CA, UNITED STATES  
Kertesz, Denis John, Mountain View, CA, UNITED STATES  
Sjogren, Eric Brian, Mountain View, CA, UNITED STATES  
Smith, David Bernard, San Mateo, CA, UNITED STATES  
Wang, Beiham, Santa Clara, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003176441	A1	20030918
APPLICATION INFO.:	US 2002-307159	A1	20021129 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-334655P	20011130 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROCHE PALO ALTO LLC, 3431 HILLVIEW AVENUE, PATENT DEPT., M/S A2-250, PALO ALTO, CA, 94304	
NUMBER OF CLAIMS:	25	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1442	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds of Formula (I): ##STR1##

wherein: R.sup.1--R.sup.4, A, L, and X have any of the values defined in the specification that are CCR-3 receptor antagonists, pharmaceutical compositions containing them, methods for their use, and methods and intermediates useful for preparing them.

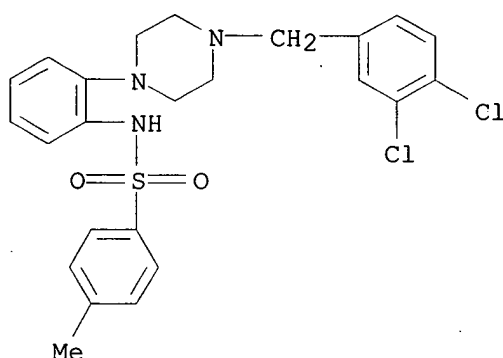
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 538342-67-5P, N-[2-[4-(3,4-Dichlorobenzyl)piperazin-1-yl]phenyl]-4-methylbenzenesulfonamide

(drug candidate; prepn. of piperazinyl carboxamides, sulfonamides, ureas and related compds. as CCR3 receptor antagonists for treating/diagnosing asthma)

RN 538342-67-5 USPATFULL

CN Benzenesulfonamide, N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 15 OF 20 USPATFULL on STN

ACCESSION NUMBER: 2003:220287 USPATFULL

TITLE: CCR-3 receptor antagonists (I)

INVENTOR(S): Bois, Daisy Joe Du, Palo Alto, CA, UNITED STATES  
Wang, Beihan, Santa Clara, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003153578	A1	20030814
APPLICATION INFO.:	US 2002-306820	A1	20021127 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-334819P	20011130 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROCHE PALO ALTO LLC, 3401 HILLVIEW AVENUE, INTELLECTUAL PROPERTY LAW DEPT., MS A2-250, PALO ALTO, CA, 94304-9819	
NUMBER OF CLAIMS:	36	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1562	

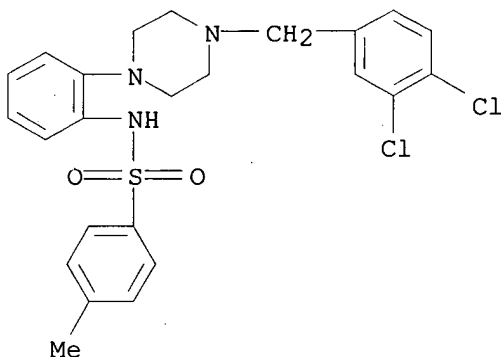
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds of Formula (I): ##STR1##

wherein: R.sup.1--R.sup.4, A, D, and L have any of the values defined in the specification that are CCR-3 receptor antagonists, pharmaceutical compositions containing them, methods for their use, and methods and intermediates useful for preparing them.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **538342-67-5P**, N-[2-[4-(3,4-Dichlorobenzyl)piperazin-1-yl]phenyl]-4-methylbenzenesulfonamide  
(drug candidate; prepn. of piperazinyl carboxamides, sulfonamides, ureas and related compds. as CCR3 receptor antagonists for treating/diagnosing asthma)  
RN 538342-67-5 USPATFULL  
CN Benzenesulfonamide, N-[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 16 OF 20 USPATFULL on STN  
ACCESSION NUMBER: 2003:140118 USPATFULL  
TITLE: Inhibitors of bace  
INVENTOR(S): Bhisetti, Govinda R., Lexington, MA, UNITED STATES  
Saunders, Jeffrey O., Acton, MA, UNITED STATES  
Murcko, Mark A., Holliston, MA, UNITED STATES  
Lepre, Christopher A., Concord, MA, UNITED STATES  
Britt, Shawn D., Andover, MA, UNITED STATES  
Come, Jon H., Cambridge, MA, UNITED STATES  
Deininger, David D., Arlington, MA, UNITED STATES  
Wang, Tianshang, Concord, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003095958	A1	20030522
APPLICATION INFO.:	US 2002-136576	A1	20020429 (10)

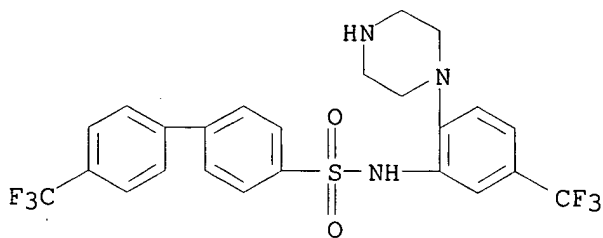
	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-287169P	20010427 (60)
	US 2001-301049P	20010626 (60)
	US 2001-342263P	20011218 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	VERTEX PHARMACEUTICALS INCORPORATED, 130 Waverly Street, Cambridge, MA, 02130-4646	
NUMBER OF CLAIMS:	71	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	5 Drawing Page(s)	
LINE COUNT:	5249	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to inhibitors of aspartic proteinases, particularly, BACE. The present invention also relates to compositions thereof and methods therewith for inhibiting BACE activity in a mammal, and for treating Alzheimer's Disease and other BACE-mediated diseases.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **474329-75-4P**, 4'-Trifluoromethylbiphenyl-4-sulfonic acid  
N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide **474329-76-5P**  
, 4'-Trifluoromethylbiphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-  
trifluoromethylphenyl)amide trifluoroacetate **474331-10-7P**,  
Naphthalene-1-sulfonic acid N-(2-(piperazin-1-yl)-5-  
trifluoromethylphenyl)amide **474331-11-8P**, Naphthalene-2-  
sulfonic acid N-(2-(piperazin-1-yl)-5-trifluoromethylphenyl)amide  
**474331-12-9P**, Biphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-  
trifluoromethylphenyl)amide **474331-44-7P**, 2'-  
Trifluoromethylbiphenyl-4-sulfonic acid N-(2-(piperazin-1-yl)-5-  
trifluoromethylphenyl)amide **474331-50-5P**, 4'-  
Trifluoromethylbiphenyl-4-sulfonic acid N-(3',4'-dichloro-4-(piperazin-1-  
yl)biphenyl-3-yl)amide **474331-51-6P**, 3'-Chlorobiphenyl-4-  
sulfonic acid (3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide  
**474331-52-7P**, 4'-Chlorobiphenyl-4-sulfonic acid  
(3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide  
**474331-53-8P**, 3'-Methylbiphenyl-4-sulfonic acid  
(3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide  
**474331-54-9P**, 4'-Methylbiphenyl-4-sulfonic acid  
(3',4'-dichloro-4-(piperazin-1-yl)biphenyl-3-yl)amide  
(drug candidate; prepn. of .beta.-carbolines and other inhibitors of  
BACE-1 aspartic proteinase useful against Alzheimer's and other  
BACE-mediated diseases)  
RN 474329-75-4 USPTFULL  
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-  
(trifluoromethyl)phenyl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)

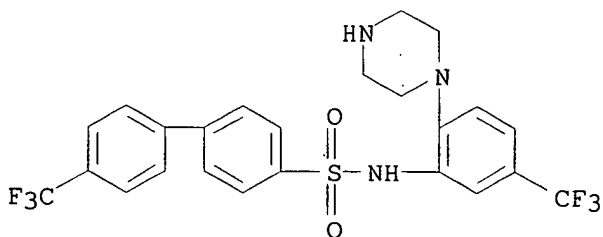


RN 474329-76-5 USPTFULL  
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-  
(trifluoromethyl)phenyl]-4'-(trifluoromethyl)-, trifluoroacetate (9CI)  
(CA INDEX NAME)

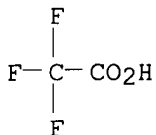
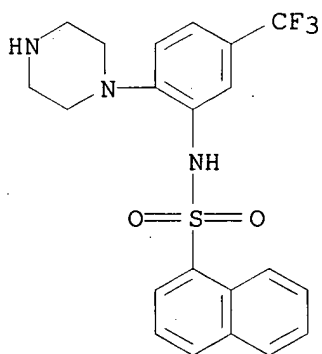
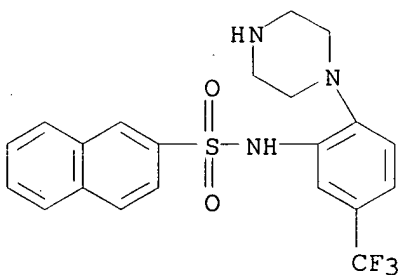
CM 1

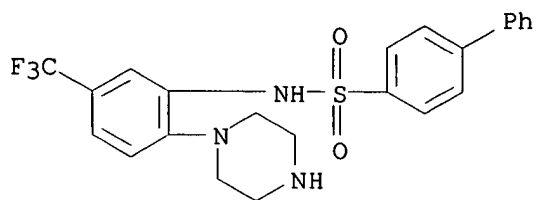
CRN 474329-75-4

CMF C24 H21 F6 N3 O2 S



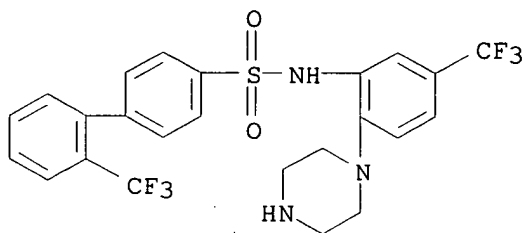
CM 2

CRN 76-05-1  
CMF C2 H F3 O2RN 474331-10-7 USPATFULL  
CN 1-Naphthalenesulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-  
(9CI) (CA INDEX NAME)RN 474331-11-8 USPATFULL  
CN 2-Naphthalenesulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-  
(9CI) (CA INDEX NAME)RN 474331-12-9 USPATFULL  
CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



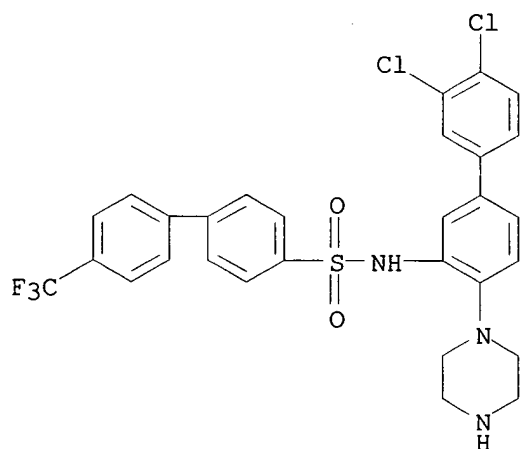
RN 474331-44-7 USPATFULL

CN [1,1'-Biphenyl]-4-sulfonamide, N-[2-(1-piperazinyl)-5-(trifluoromethyl)phenyl]-2'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 474331-50-5 USPATFULL

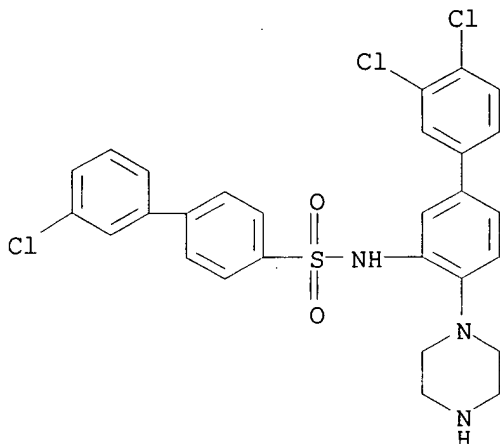
CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 474331-51-6 USPATFULL

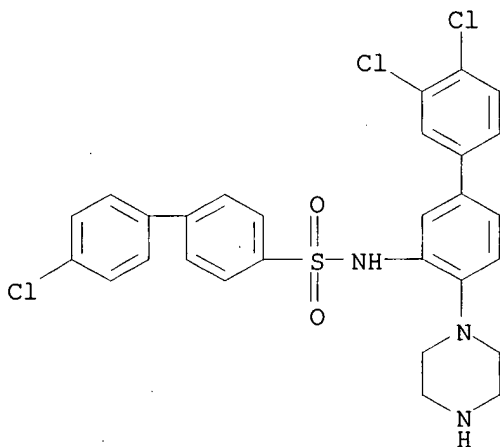
CN [1,1'-Biphenyl]-4-sulfonamide, 3'-chloro-N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)





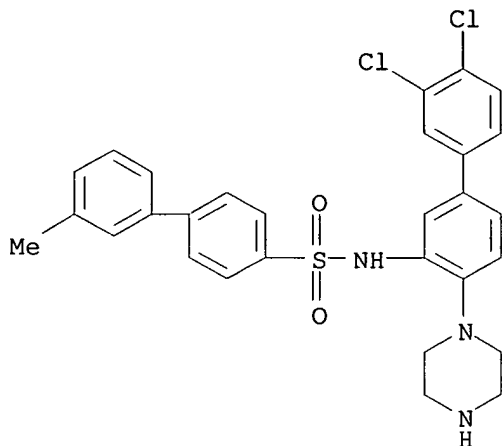
RN 474331-52-7 USPATFULL

CN [1,1'-Biphenyl]-4-sulfonamide, 4'-chloro-N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]- (9CI) (CA INDEX NAME)



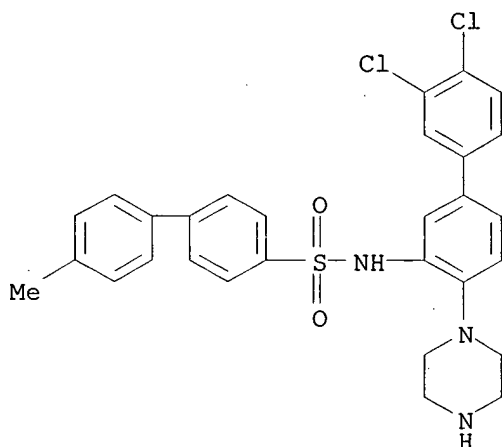
RN 474331-53-8 USPATFULL

CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)[1,1'-biphenyl]-3-yl]-3'-methyl- (9CI) (CA INDEX NAME)



RN 474331-54-9 USPATFULL

CN [1,1'-Biphenyl]-4-sulfonamide, N-[3',4'-dichloro-4-(1-piperazinyl)]-[1,1'-biphenyl]-3-yl]-4'-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 17 OF 20 USPATFULL on STN

ACCESSION NUMBER: 2002:236011 USPATFULL

TITLE: Nonpeptide agonists and antagonists of vasopressin receptors

INVENTOR(S): Snyder, James P., Atlanta, GA, UNITED STATES  
Liotta, Dennis C., Atlanta, GA, UNITED STATES  
Venkatesan, Hariharan, San Diego, CA, UNITED STATES  
Wang, Minmin, Indianapolis, IN, UNITED STATES  
Davis, Matthew C., Ridgecrest, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002128208	A1	20020912
APPLICATION INFO.:	US 2001-23603	A1	20011217 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-255946P	20001215 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	

LEGAL REPRESENTATIVE: KING & SPALDING, 191 PEACHTREE STREET, N.E., ATLANTA, GA, 30303-1763

NUMBER OF CLAIMS: 33

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT: 4297

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The disclosed invention is a composition agonists and/or antagonists of V.sub.2, V.sub.1a or both receptors, in a host, including animals, and especially humans, using a small molecule or its pharmaceutically acceptable salt or prodrug.

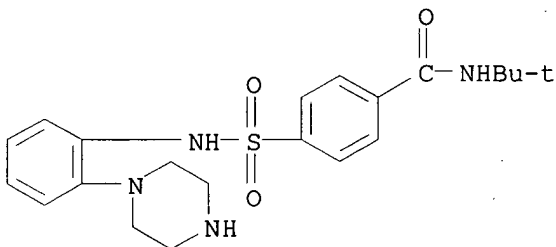
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 438192-11-1P

(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

RN 438192-11-1 USPATFULL

CN Benzamide, N-(1,1-dimethylethyl)-4-[[[2-(1-piperazinyl)phenyl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 18 OF 20 USPATFULL on STN

ACCESSION NUMBER: 2002:332835 USPATFULL

TITLE: Silver halide color photographic lightsensitive material and novel pyrrolotriazole compound

INVENTOR(S): Tateishi, Keiichi, Minami-Ashigara, JAPAN  
Mikoshiba, Hisashi, Minami-Ashigara, JAPAN  
Matsuda, Naoto, Minami-Ashigara, JAPAN

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Kanagawa, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6495697	B1	20021217
APPLICATION INFO.:	US 2002-121593		20020415 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2000-675213, filed on 29 Sep 2000, now patented, Pat. No. US 6399291		

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-279838	19990930
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	McKane, Joseph K.	
ASSISTANT EXAMINER:	Anderson, Rebecca	
LEGAL REPRESENTATIVE:	Birch, Stewart, Kolasch & Birch, LLP	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	2832	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		

AB A silver halide color photographic lightsensitive material contains a coupler represented by formula (I) below in at least one layer on a support (in this formula, X represents a hydrogen atom or a group which can split off by a coupling reaction with an oxidized form of an aromatic primary amine color developing agent, each of R.sub.1 and R.sub.2 represents an electron-attracting group having a Hammett's substituent constant p value of 0.20 or more, and the sum of the p values of R.sub.1 and R.sub.2 is 0.65 or more, and each of G.sub.1 and G.sub.2 represents a nitrogen atom or a substituent). A pyrrolotriazole compound represented by formula (I) below is also provided. ##STR1##

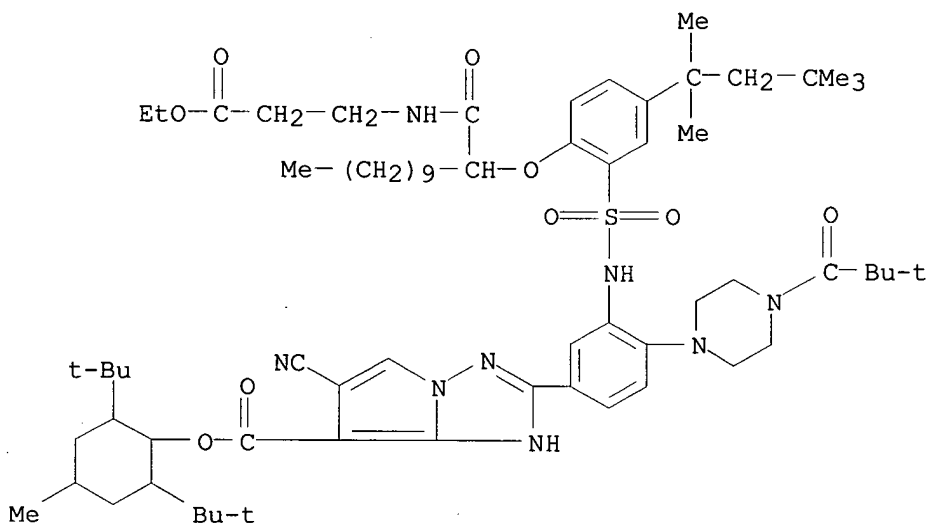
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 344941-66-8P

(silver halide color photog. material contg. pyrrolotriazole cyan coupler)

RN 344941-66-8 USPATFULL

CN 1H-Pyrrolo[1,2-b][1,2,4]triazole-7-carboxylic acid, 6-cyano-2-[4-[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-3-[[[2-[[1-[(3-ethoxy-3-oxopropyl)amino]carbonyl]undecyl]oxy]-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfonyl]amino]phenyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylcyclohexyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 19 OF 20 USPATFULL on STN

ACCESSION NUMBER: 2002:137010 USPATFULL

TITLE: Sulfonamide derivatives and drugs containing the same as the active ingredient

INVENTOR(S): Hidaka, Hiroyoshi, 607, Otokikiyama, Tenpaku-ku, Nagoyai-shi, Aichi 468-0063, JAPAN

Inoue, Tsutomu, Funabashi, JAPAN

Umezawa, Isao, Tokyo, JAPAN

Nakano, Hiroyuki, Machida, JAPAN

Nakamura, Hiroshi, Nagareyama, JAPAN

Watanabe, Naofumi, Inagi, JAPAN

Yokota, Shizumasa, Tsurugashima, JAPAN

Sasaki, Tomomitsu, Ageo, JAPAN

Yajima, Yumi, Matsudo, JAPAN

PATENT ASSIGNEE(S): Hidaka, Hiroyoshi, Nagoya, JAPAN (non-U.S. individual)

NUMBER

KIND

DATE

PATENT INFORMATION: US 6403607 B1 20020611  
WO 9950237 19991007  
APPLICATION INFO.: US 2000-647533 20001002 (9)  
WO 1999-JP1621 19990330  
20001002 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1998-83804	19980330
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Rotman, Alan L.	
ASSISTANT EXAMINER:	Robinson, Binta	
LEGAL REPRESENTATIVE:	Oblon, Spivak, McClelland, Maier & Neustadt, P.C.	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	2637	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention discloses a sulfonamide derivative represented by the following formula (1): ##STR1##

[wherein A represents a nitrogen atom, --CH.dbd., etc.; Z represents an oxygen atom, etc.; Ar.sup.1 represents an aryl group, etc.; Ar.sup.2 represents an alkyl group, etc.; R.sup.a represents a hydrogen atom, etc.; R.sup.b represents a hydrogen atom, etc.; and R.sup.c represents an alkyl group, etc.], or a salt thereof; and drugs containing the derivative or a salt thereof as an active ingredient.

This compound exhibits radical scavenging action, gastric mucous secretion augmenting action, and anti-HP action, and thus is effective as a peptic ulcer therapeutic agent.

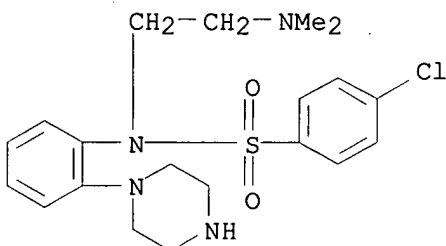
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 245649-65-4P 245649-66-5P 245649-67-6P  
245649-68-7P

(sulfonamide derivs. and antiulcer drugs contg. the same as the active ingredient)

RN 245649-65-4 USPATFULL

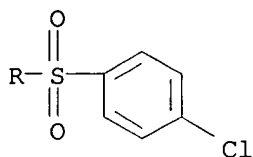
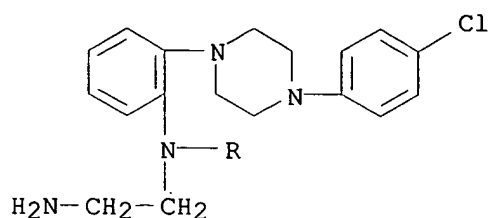
CN Benzenesulfonamide, 4-chloro-N-[2-(dimethylamino)ethyl]-N-[2-(1-piperazinyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

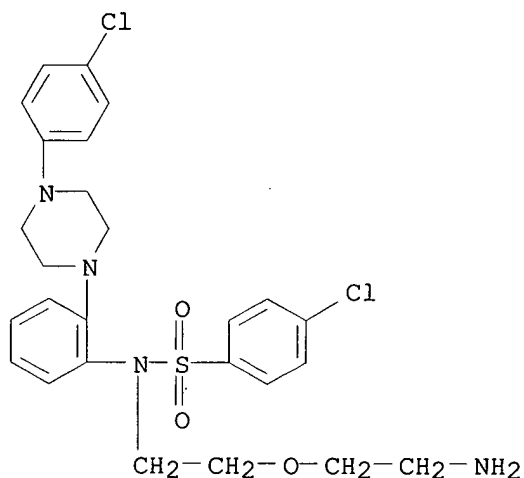
RN 245649-66-5 USPATFULL

CN Benzenesulfonamide, N-(2-aminoethyl)-4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



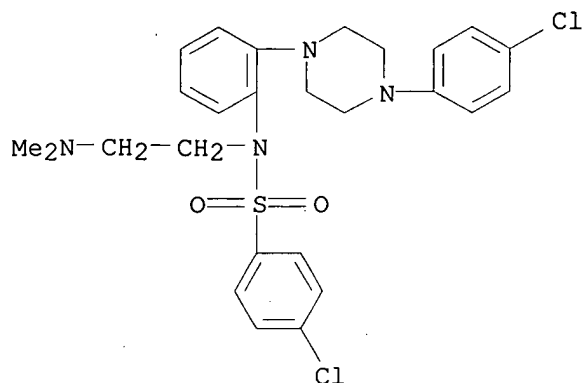
RN 245649-67-6 USPATFULL

CN Benzenesulfonamide, N-[2-(2-aminoethoxy)ethyl]-4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)



RN 245649-68-7 USPATFULL

CN Benzenesulfonamide, 4-chloro-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]phenyl]-N-[2-(dimethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L10 ANSWER 20 OF 20 USPATFULL on STN  
 ACCESSION NUMBER: 2002:129712 USPATFULL  
 TITLE: Silver halide color photographic light-sensitive material and novel pyrrolotriazole compound  
 INVENTOR(S): Tateishi, Keiichi, Minami-Ashigara, JAPAN  
 Mikoshiba, Hisashi, Minami-Ashigara, JAPAN  
 Matsuda, Naoto, Minami-Ashigara, JAPAN  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Kanagawa, JAPAN (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6399291	B1	20020604
APPLICATION INFO.:	US 2000-675213		20000929 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1999-279838	19990930
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Letscher, Geraldine	
LEGAL REPRESENTATIVE:	Birch, Stewart, Kolasch & Birch, LLP	
NUMBER OF CLAIMS:	8	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 0 Drawing Page(s)	
LINE COUNT:	2784	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

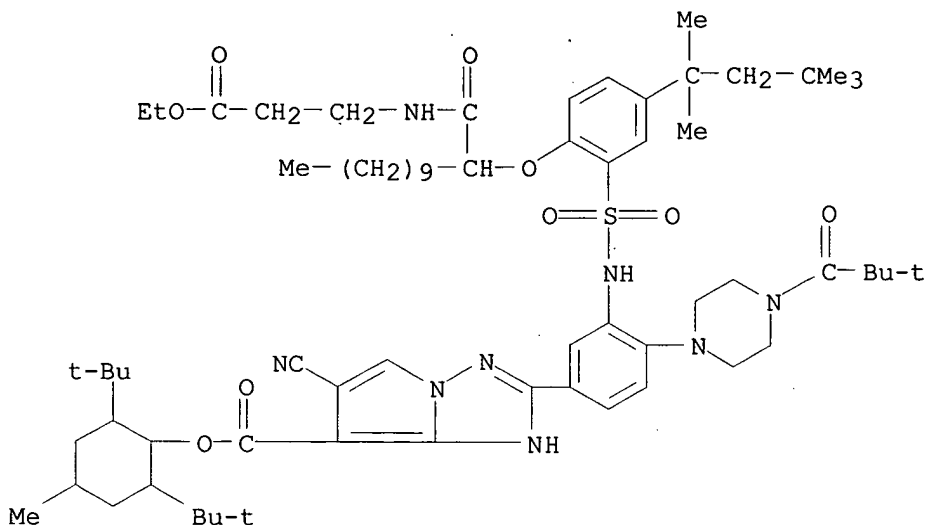
AB A silver halide color photographic light-sensitive material contains a coupler represented by formula (I) below in at least one layer on a support (in this formula, X represents a hydrogen atom or a group which can split off by a coupling reaction with an oxidized form of an aromatic primary amine color developing agent, each of R.sub.1 and R.sub.2 represents an electron-attracting group having a Hammett's substituent constant .sigma.p value of 0.20 or more, and the sum of the .sigma.p values of R.sub.1 and R.sub.2 is 0.65 or more, and each of G.sub.1 and G.sub.2 represents a nitrogen atom or a substituent). A pyrrolotriazole compound represented by formula (I) below is also provided. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.  
 IT 344941-66-8P

(silver halide color photog. material contg. pyrrolotriazole cyan coupler)

RN 344941-66-8 USPATFULL

CN 1H-Pyrrolo[1,2-b][1,2,4]triazole-7-carboxylic acid, 6-cyano-2-[4-[4-(2,2-dimethyl-1-oxopropyl)-1-piperazinyl]-3-[[[2-[[1-[[[3-ethoxy-3-oxopropyl)amino]carbonyl]undecyl]oxy]-5-(1,1,3,3-tetramethylbutyl)phenyl]sulfonyl]amino]phenyl]-, 2,6-bis(1,1-dimethylethyl)-4-methylcyclohexyl ester (9CI) (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 10:38:40 ON 07 JAN 2004  
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L4 STR  
L6 53 SEA FILE=REGISTRY SSS FUL L4  
L9 0 SEA FILE=CAOLD ABB=ON L6

FILE 'HOME' ENTERED AT 10:38:40 ON 07 JAN 2004



AB The title compds. were prepd. as agonists and/or antagonists of V2, V1a or both receptors, in humans, for use in treating renal dysfunction or hypertension (no data). Thus, the sulfonamide I was obtained by benzoylating p-phenylenediamine and reaction with (-)-camphorsulfonyl chloride.

IT **438192-11-1P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

L13 ANSWER 2 OF 2 USPATFULL on STN

ACCESSION NUMBER: 2002:236011 USPATFULL

TITLE: Nonpeptide agonists and antagonists of vasopressin receptors

INVENTOR(S): Snyder, James P., Atlanta, GA, UNITED STATES  
Liotta, Dennis C., Atlanta, GA, UNITED STATES  
Venkatesan, Hariharan, San Diego, CA, UNITED STATES  
Wang, Minmin, Indianapolis, IN, UNITED STATES  
Davis, Matthew C., Ridgecrest, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002128208	A1	20020912
APPLICATION INFO.:	US 2001-23603	A1	20011217 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-255946P	20001215 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	KING & SPALDING, 191 PEACHTREE STREET, N.E., ATLANTA, GA, 30303-1763	
NUMBER OF CLAIMS:	33	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	14 Drawing Page(s)	
LINE COUNT:	4297	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The disclosed invention is a composition agonists and/or antagonists of V.sub.2, V.sub.1a or both receptors, in a host, including animals, and especially humans, using a small molecule or its pharmaceutically acceptable salt or prodrug.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **438192-11-1P**

(diarylsulfonamides and N-arylbenzamides as nonpeptide agonists and antagonists of vasopressin receptors)

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